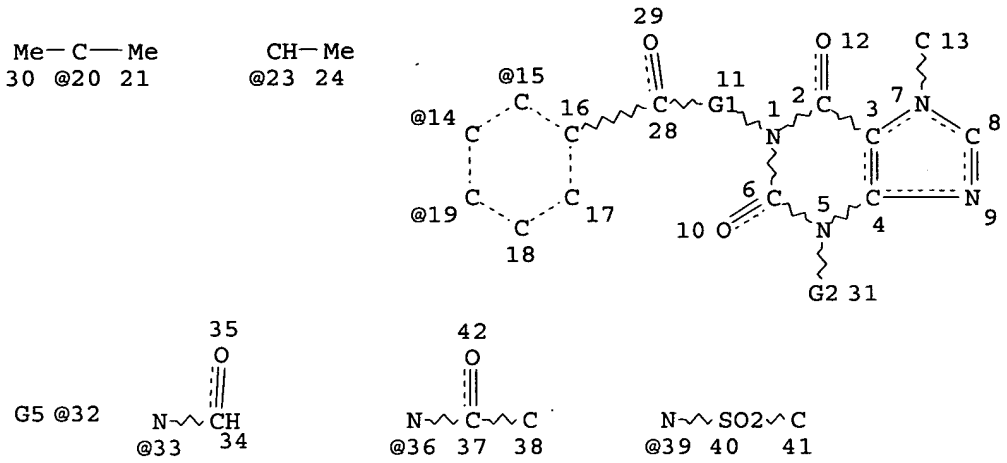
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 27

STEREO ATTRIBUTES: NONE
L12 35177 SEA FILE=REGISTRY SSS FUL L9
L13 STR



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VAR G2=H/C
VAR G5=33/36/39
VPA 32-19/14/15 U
NODE ATTRIBUTES:
NSPEC IS RC AT 13
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

Subset search done on this structure

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 38

STEREO ATTRIBUTES: NONE
L15 52 SEA FILE=REGISTRY SUB=L12 SSS FUL L13

100.0% PROCESSED 366 ITERATIONS 52 ANSWERS
SEARCH TIME: 00.00.01

FILE 'CAPLUS' ENTERED AT 15:40:26 ON 12 MAY 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE 'USPATFULL' ENTERED AT 15:40:26 ON 12 MAY 2005

L18 8 L15

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=> fil reg; d stat que l15; fil capl uspatf; s l15
FILE 'REGISTRY' ENTERED AT 15:40:26 ON 12 MAY 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   11 MAY 2005  HIGHEST RN 850303-40-1
DICTIONARY FILE UPDATES:  11 MAY 2005  HIGHEST RN 850303-40-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html
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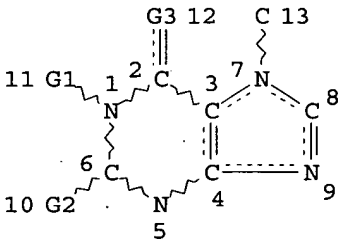
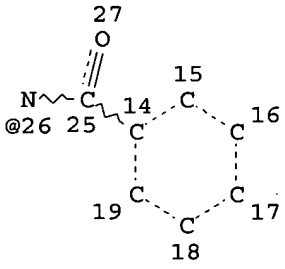
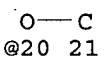
L9 STR







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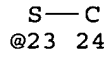

full file search done on this structure

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NODE ATTRIBUTES:
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CONNECT IS E1  RC AT  22
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PROCESSING COMPLETED FOR L18
L19            8 DUP REM L18 (0 DUPLICATES REMOVED)
                 ANSWERS '1-5' FROM FILE CAPLUS
                 ANSWERS '6-8' FROM FILE USPATFULL

=> d ibib ed abs hitstr 1-8; fil hom

L19  ANSWER 1 OF 8  CAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2004:182879  CAPLUS
DOCUMENT NUMBER:          140:235743
TITLE:                    Preparation of 8-[3-aminopiperidin-1-yl]xanthines as
                          dipeptidylpeptidase-IV (DPP-IV) inhibitors.
INVENTOR(S):              Himmelsbach, Frank; Langkopf, Elke; Eckhardt,
                          Matthias; Mark, Michael; Maier, Roland; Lotz, Ralf
                          Richard Hermann; Tadayyon, Mohammad
PATENT ASSIGNEE(S):       Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.,
                          Germany
SOURCE:                   PCT Int. Appl., 226 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 German
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
     ---------------      ----   --------    --------------------     --------
     WO 2004018468         A2    20040304    WO 2003-EP9127           20030818
     WO 2004018468         A3    20040408
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     DE 10238243           A1    20040304    DE 2002-10238243         20020821
     DE 10312353           A1    20040930    DE 2003-10312353         20030320
PRIORITY APPLN. INFO.:                       DE 2002-10238243    A    20020821
                                             DE 2003-10312353    A    20030320
OTHER SOURCE(S):          MARPAT 140:235743
ED   Entered STN:  05 Mar 2004
GI
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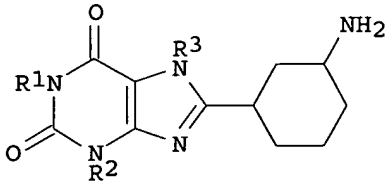


I

AB Title compds. (I; R1 = Me substituted by Me2NCO, pyrrolidin-1-ylcarbonyl, piperidin-1-ylcarbonyl, tert-butylcarbonyl, naphthyl, nitronaphthyl,

dimethylaminonaphthyl, phenyloxadiazolyl, quinolinyl, indolyl, cinnolinyl, benzothienyl, etc.; R2 = Me, Me2CH, Ph; R3 = 2-methyl-2-propen-1-yl, 2-chloro-2-propen-1-yl, 3-bromo-2-propen-1-yl, 2-buten-1-yl, 2,3-dimethyl-2-buten-1-yl, 2-butyn-1-yl, 1-cyclopenten-1-ylmethyl, 2-furylmethyl), were prepared Thus, 1,3-dimethyl-7-(2,6-dicyanobenzyl)-8-bromoxanthine (preparation from 8-bromotheophylline and 2-bromomethylisophthalonitrile given), 3-aminopiperidine dihydrochloride, and K2CO3 were heated in DMF for 3 h at 80° to give 14% 1,3-dimethyl-7-(2,6-dicyanobenzyl)-8-(3-aminopiperidin-1-yl)xanthine. I inhibited DPP-IV with IC50 = 1-2160 nM.

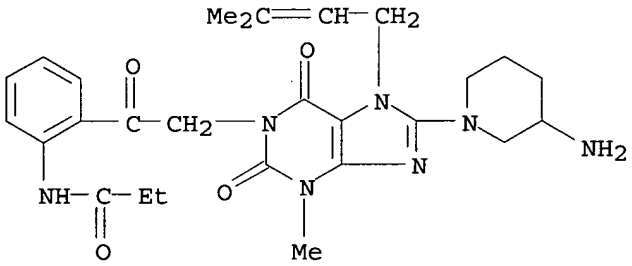
IT **668268-86-8P 668269-66-7P 668269-70-3P 668269-75-8P 668269-80-5P 668269-86-1P 668269-88-3P 668269-89-4P 668269-90-7P 668270-15-3P 668270-16-4P 668270-17-5P 668270-19-7P 668270-21-1P 668270-22-2P 668270-24-4P 668270-25-5P 668270-26-6P 668270-27-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminopiperidinylxanthines as dipeptidylpeptidase-IV inhibitors)

RN 668268-86-8 CAPLUS

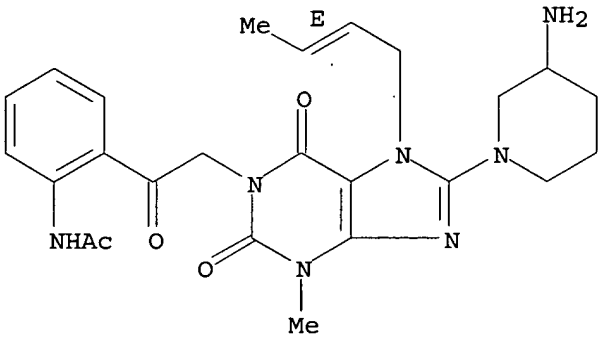
CN Propanamide, N-[2-[[8-(3-amino-1-piperidinyl)-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)



RN 668269-66-7 CAPLUS

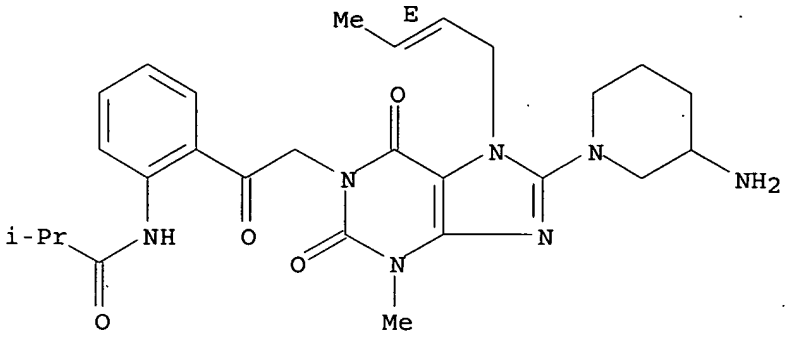
CN Acetamide, N-[2-[[8-(3-amino-1-piperidinyl)-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



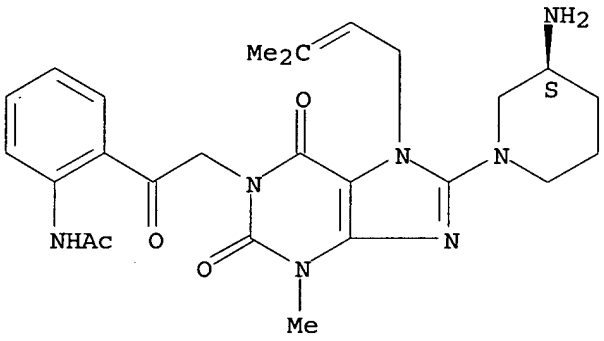
RN 668269-70-3 CAPLUS
CN Propanamide, N-[2-[[8-(3-amino-1-piperidinyl)-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

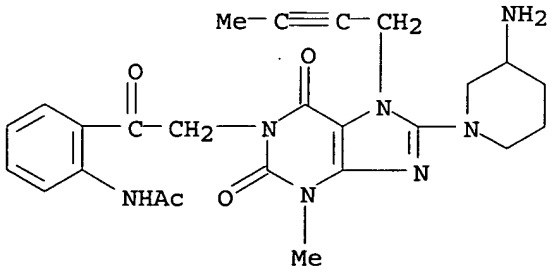


RN 668269-75-8 CAPLUS
CN Acetamide, N-[2-[[8-[(3S)-3-amino-1-piperidinyl]-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

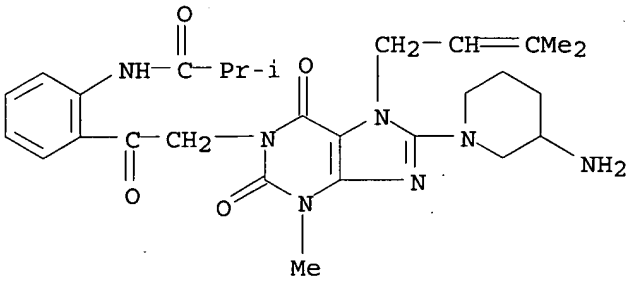
Absolute stereochemistry.



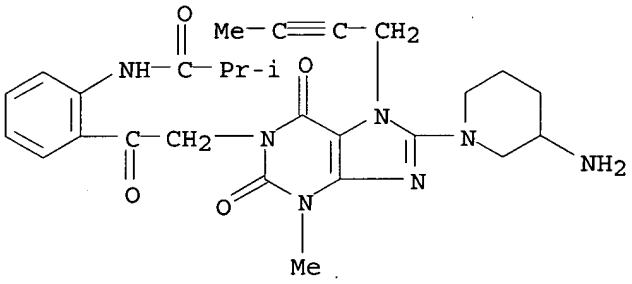
RN 668269-80-5 CAPLUS
CN Acetamide, N-[2-[[8-(3-amino-1-piperidinyl)-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)



RN 668269-86-1 CAPLUS
CN Propanamide, N-[2-[[8-(3-amino-1-piperidinyl)-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

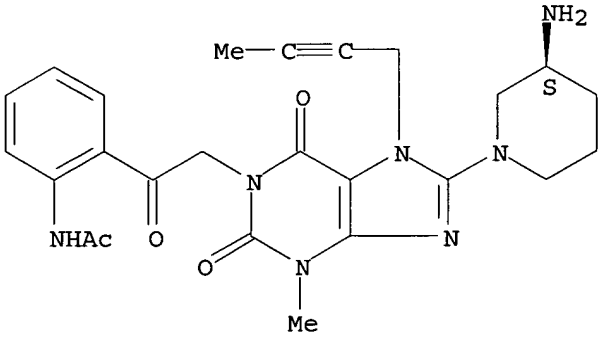


RN 668269-88-3 CAPLUS
CN Propanamide, N-[2-[[8-(3-amino-1-piperidinyl)-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)



RN 668269-89-4 CAPLUS
CN Acetamide, N-[2-[[8-[(3S)-3-amino-1-piperidinyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

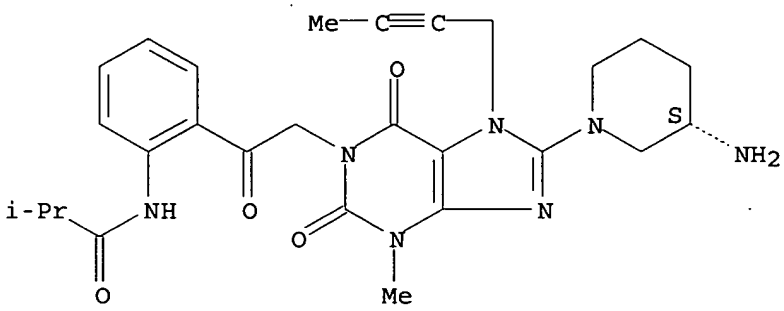
Absolute stereochemistry.



RN 668269-90-7 CAPLUS
CN Propanamide, N-[2-[[8-[(3S)-3-amino-1-piperidinyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-2-methyl- (9CI)

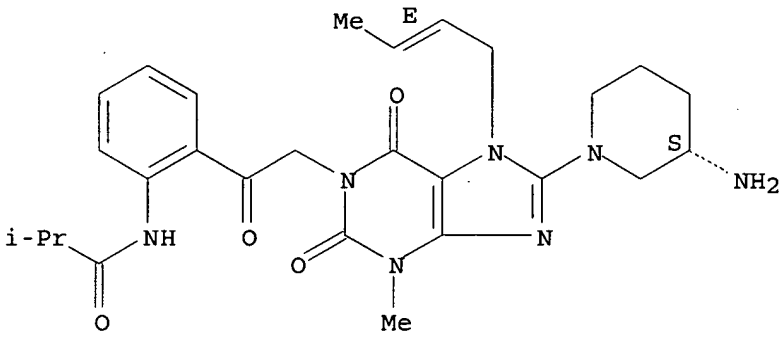
(CA INDEX NAME)

Absolute stereochemistry.



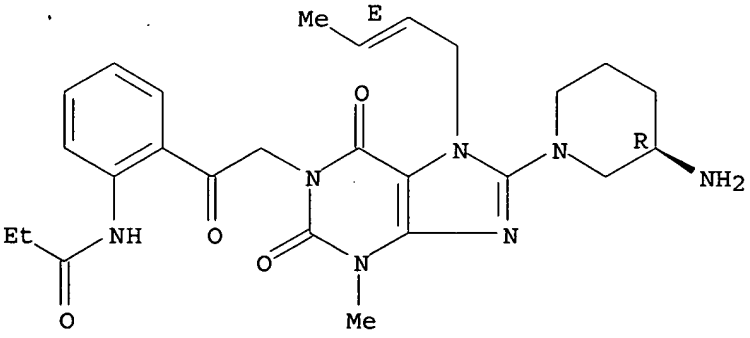
RN 668270-15-3 • CAPLUS
CN Propanamide, N-[2-[[8-[(3S)-3-amino-1-piperidinyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



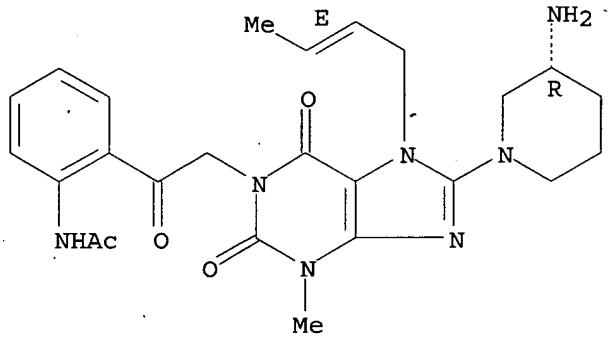
RN 668270-16-4 CAPLUS
CN Propanamide, N-[2-[[8-[(3R)-3-amino-1-piperidinyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



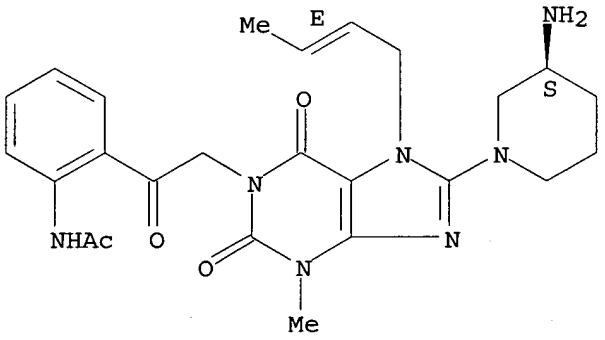
RN 668270-17-5 CAPLUS
CN Acetamide, N-[2-[[8-[(3R)-3-amino-1-piperidinyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



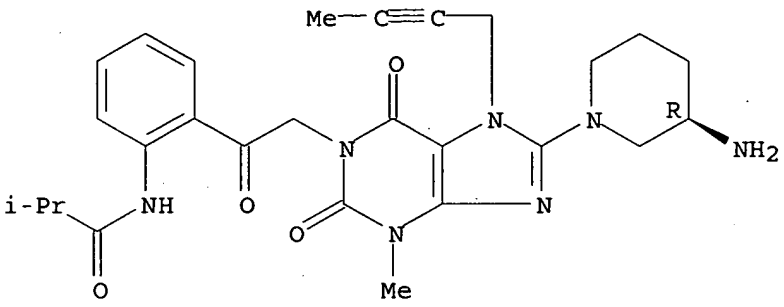
RN 668270-19-7 CAPLUS
CN Acetamide, N-[2-[[8-[(3S)-3-amino-1-piperidinyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



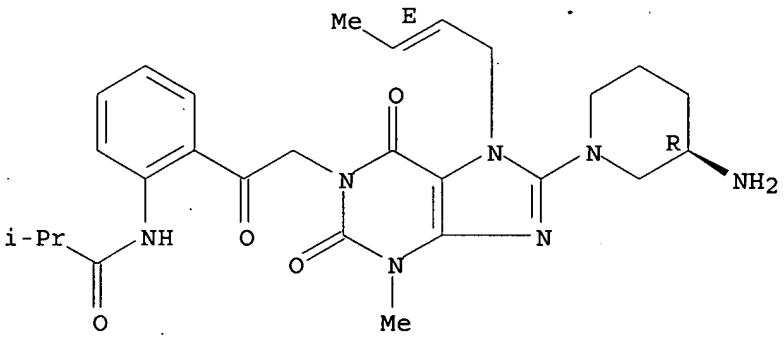
RN 668270-21-1 CAPLUS
CN Propanamide, N-[2-[[8-[(3R)-3-amino-1-piperidinyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



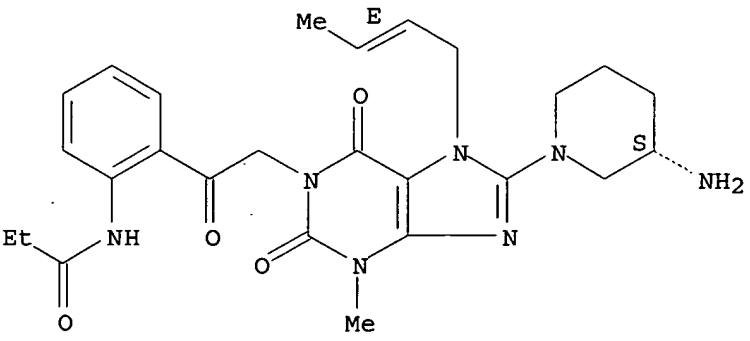
RN 668270-22-2 CAPLUS
CN Propanamide, N-[2-[[8-[(3R)-3-amino-1-piperidinyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 668270-24-4 CAPLUS
CN Propanamide, N-[2-[[8-[(3S)-3-amino-1-piperidinyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

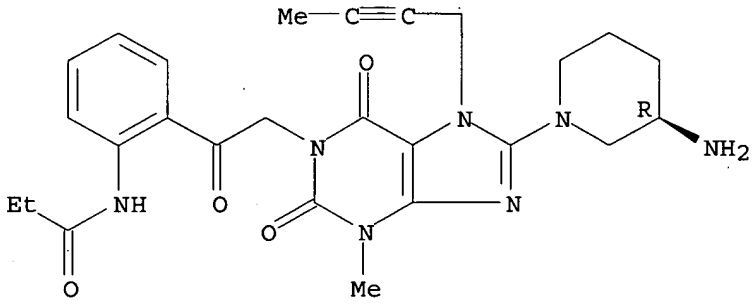
Absolute stereochemistry.
Double bond geometry as shown.



RN 668270-25-5 CAPLUS
CN Propanamide, N-[2-[[8-[(3R)-3-amino-1-piperidinyl]-7-(2-butynyl)-2,3,6,7-

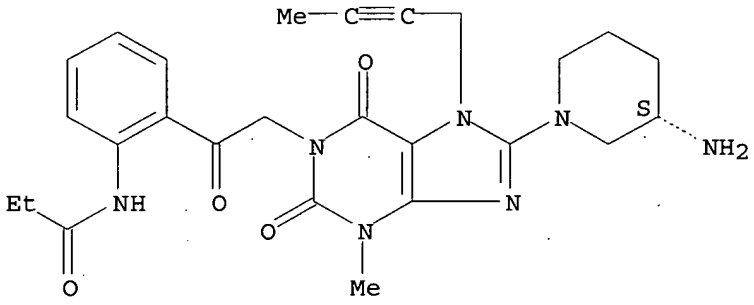
tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



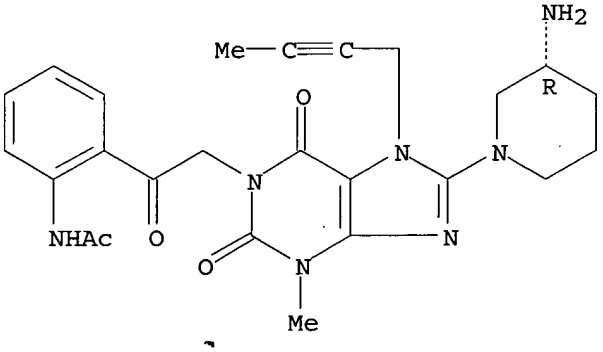
RN 668270-26-6 CAPLUS
CN Propanamide, N-[2-[[8-[(3S)-3-amino-1-piperidinyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 668270-27-7 CAPLUS
CN Acetamide, N-[2-[[8-[(3R)-3-amino-1-piperidinyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

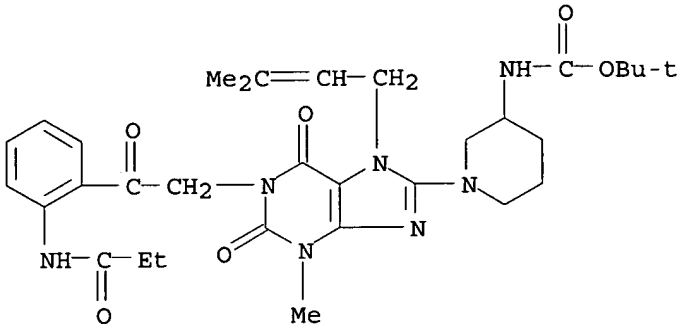
Absolute stereochemistry.



IT **668274-99-5P 668275-01-2P 668275-02-3P**

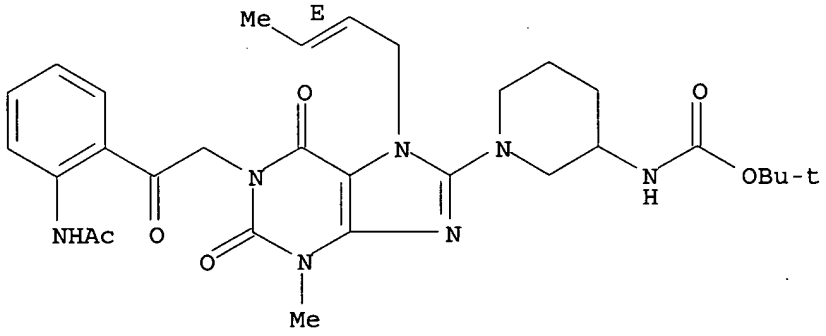
668275-03-4P 668275-04-5P 668275-05-6P
668275-06-7P 668275-07-8P 668275-08-9P
668275-10-3P 668275-11-4P 668275-12-5P
668275-13-6P 668275-14-7P 668275-15-8P
668275-16-9P 668275-17-0P 668275-18-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminopiperidinylxanthines as dipeptidylpeptidase-IV inhibitors)

RN 668274-99-5 CAPLUS
CN Carbamic acid, [1-[2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1-[2-oxo-2-[2-[(1-oxopropyl)amino]phenyl]ethyl]-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



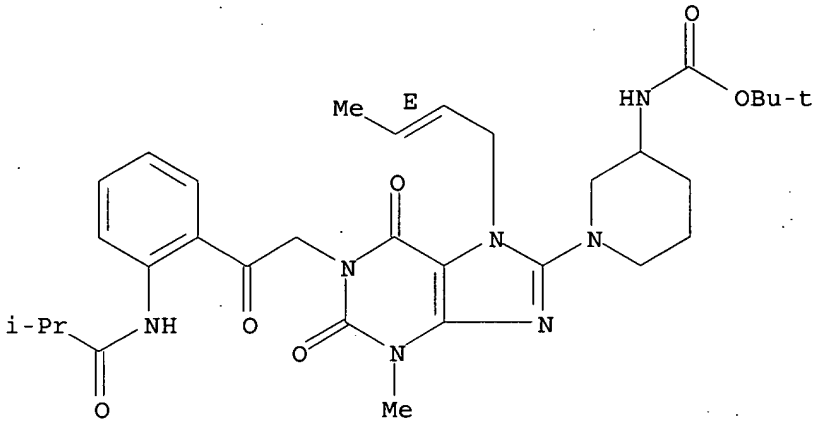
RN 668275-01-2 CAPLUS
CN Carbamic acid, [1-[1-[2-[2-(acetylamino)phenyl]-2-oxoethyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



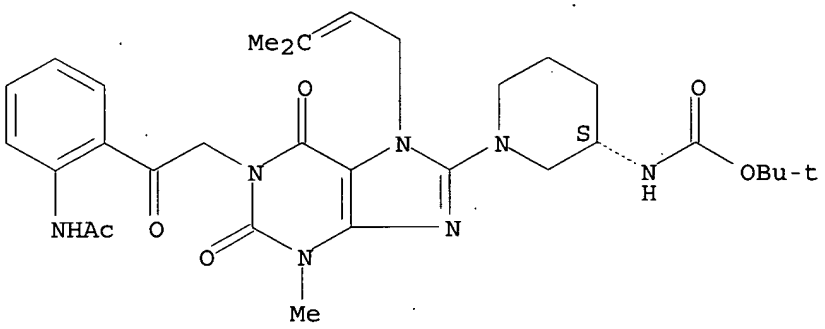
RN 668275-02-3 CAPLUS
CN Carbamic acid, [1-[7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-1-[2-[2-[(2-methyl-1-oxopropyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

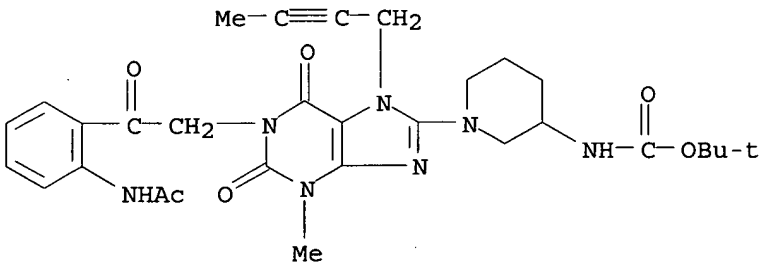


RN 668275-03-4 CAPLUS
CN Carbamic acid, [(3S)-1-[1-[2-[2-(acetylamino)phenyl]-2-oxoethyl]-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

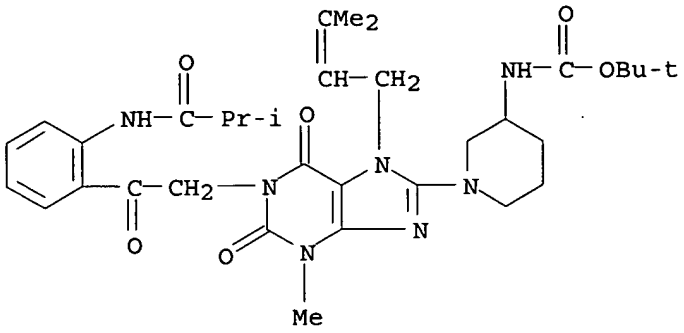
Absolute stereochemistry.



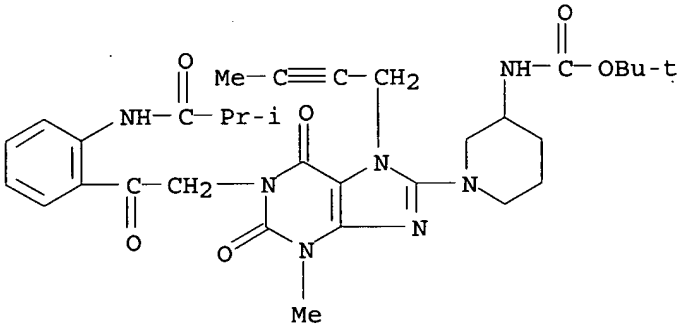
RN 668275-04-5 CAPLUS
CN Carbamic acid, [1-[1-[2-[2-(acetylamino)phenyl]-2-oxoethyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 668275-05-6 CAPLUS
CN Carbamic acid, [1-[2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-1-[2-[2-[(2-methyl-1-oxopropyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

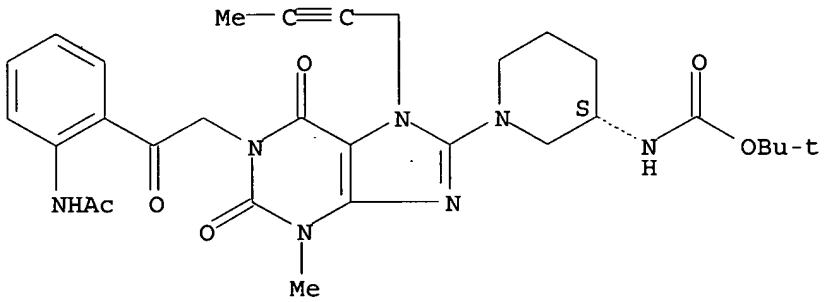


RN 668275-06-7 CAPLUS
CN Carbamic acid, [1-[7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-1-[2-[2-[(2-methyl-1-oxopropyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



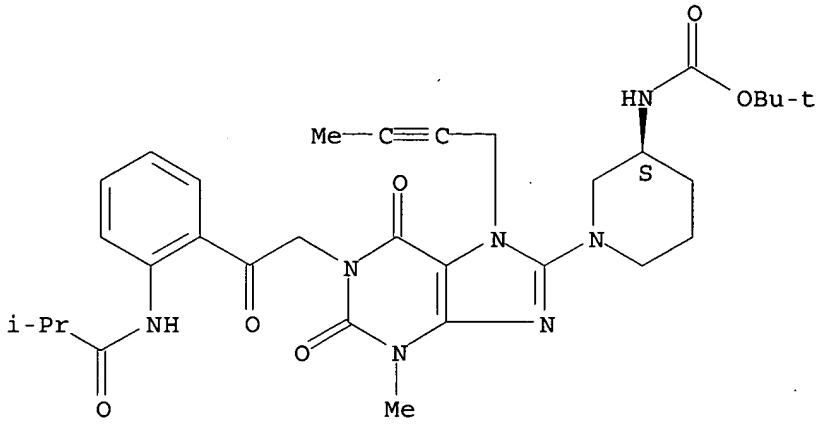
RN 668275-07-8 CAPLUS
CN Carbamic acid, [(3S)-1-[1-[2-[2-(acetylamino)phenyl]-2-oxoethyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



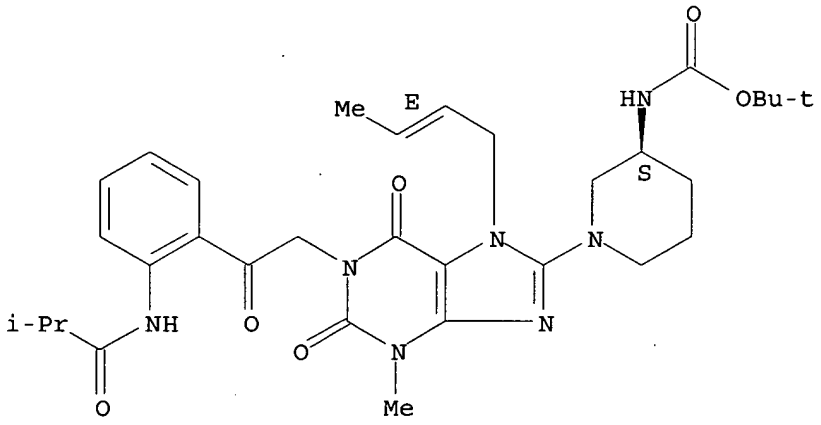
RN 668275-08-9 CAPLUS
CN Carbamic acid, [(3S)-1-[7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-1-[2-[2-[(2-methyl-1-oxopropyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



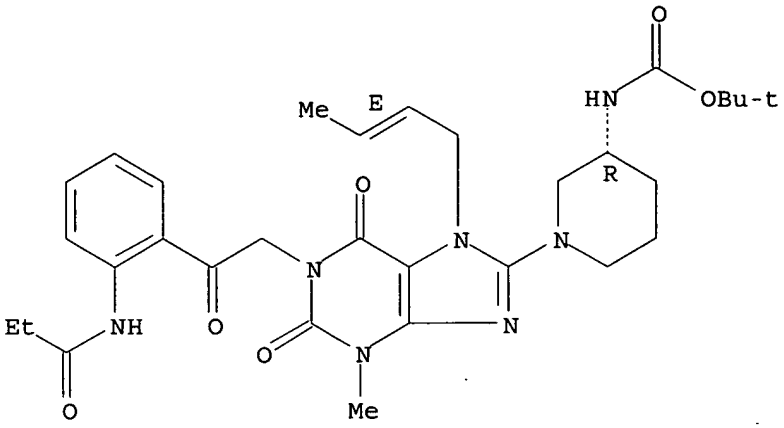
RN 668275-10-3 CAPLUS
CN Carbamic acid, [(3S)-1-[7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-1-[2-[2-[(2-methyl-1-oxopropyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



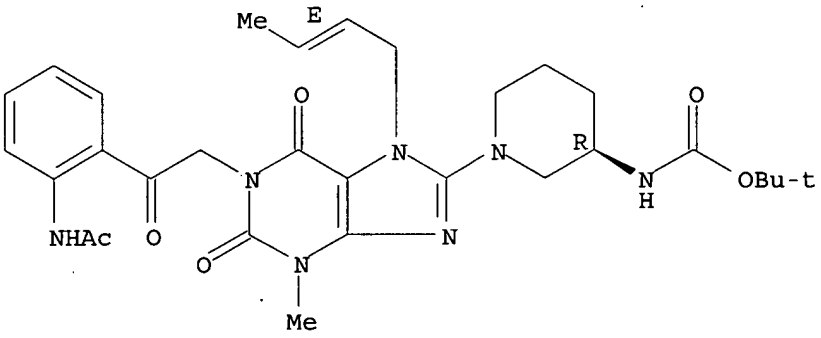
RN 668275-11-4 CAPLUS
CN Carbamic acid, [(3R)-1-[7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1-[2-oxo-2-[2-[(1-oxopropyl)amino]phenyl]ethyl]-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



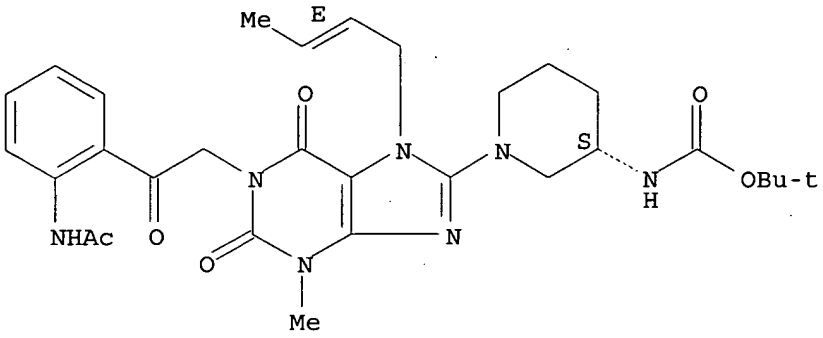
RN 668275-12-5 CAPLUS
CN Carbamic acid, [(3R)-1-[1-[2-[2-(acetylamino)phenyl]-2-oxoethyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



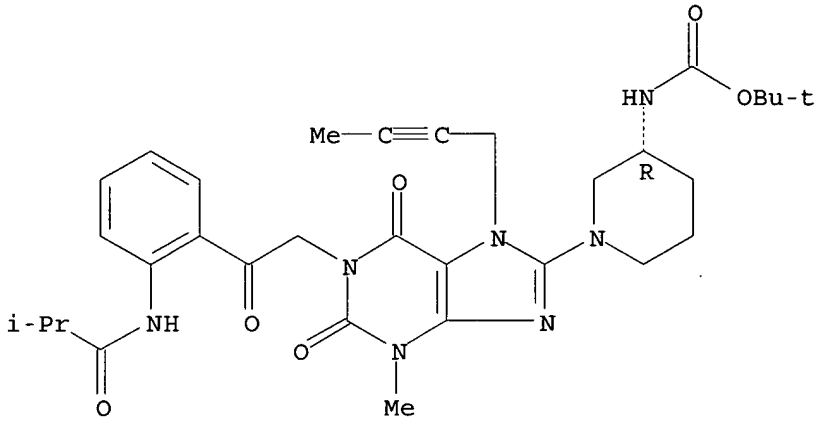
RN 668275-13-6 CAPLUS
CN Carbamic acid, [(3S)-1-[1-[2-[2-(acetylamino)phenyl]-2-oxoethyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



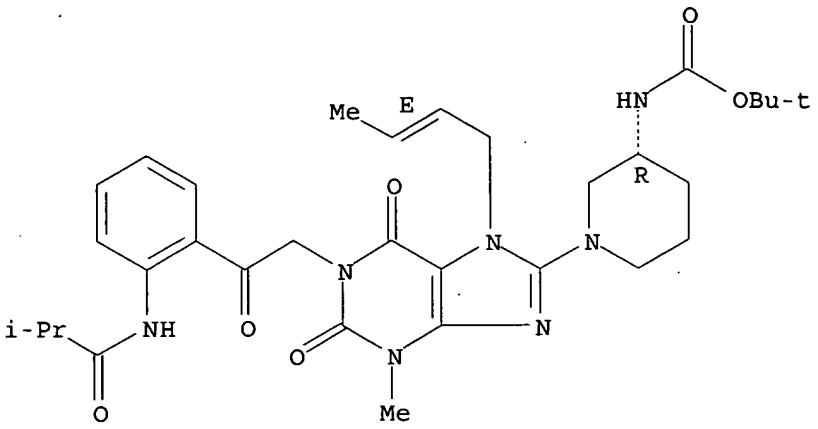
RN 668275-14-7 CAPLUS
CN Carbamic acid, [(3R)-1-[7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-1-[2-[2-[(2-methyl-1-oxopropyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



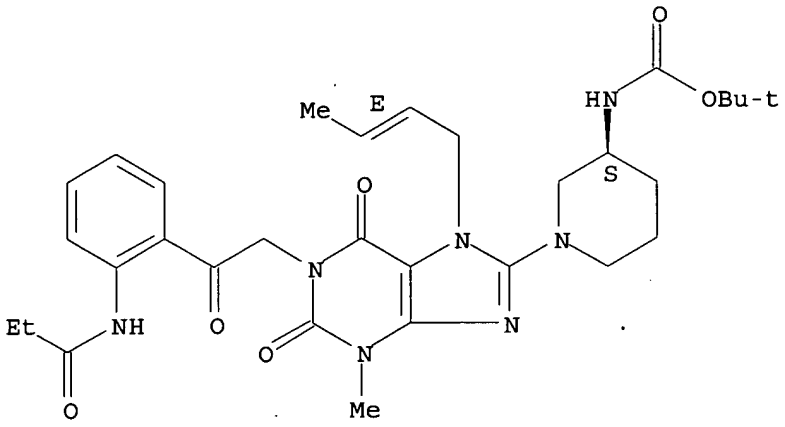
RN 668275-15-8 CAPLUS
CN Carbamic acid, [(3R)-1-[7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-1-[2-[2-[(2-methyl-1-oxopropyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



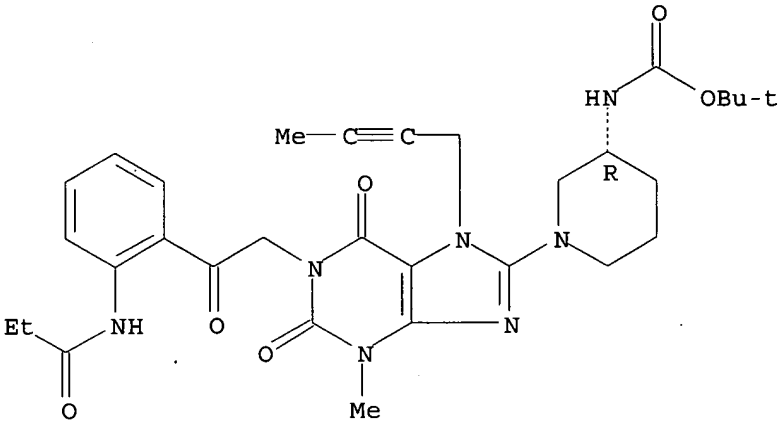
RN 668275-16-9 CAPLUS
CN Carbamic acid, [(3S)-1-[7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1-[2-oxo-2-[2-[(1-oxopropyl)amino]phenyl]ethyl]-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



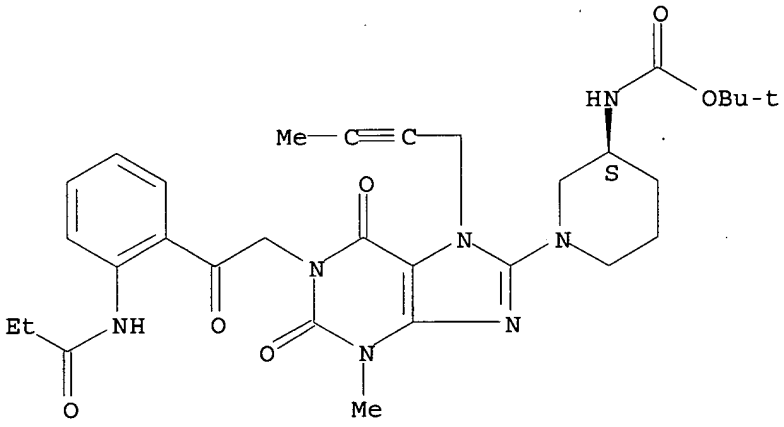
RN 668275-17-0 CAPLUS
CN Carbamic acid, [(3R)-1-[7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1-[2-oxo-2-[2-[(1-oxopropyl)amino]phenyl]ethyl]-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 668275-18-1 CAPLUS
CN Carbamic acid, [(3S)-1-[7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1-[2-oxo-2-[2-[(1-oxopropyl)amino]phenyl]ethyl]-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:408271 CAPLUS
DOCUMENT NUMBER: 140:423521
TITLE: Preparation of xanthines as inhibitors of dipeptidyl peptidase IV (DPP-IV)
INVENTOR(S): Himmelsbach, Frank; Langkopf, Elke; Eckhardt, Matthias; Maier, Roland; Mark, Michael; Tadayyon, Mohammad; Lotz, Ralf
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
SOURCE: Ger. Offen., 39 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10251927	A1	20040519	DE 2002-10251927	20021108
US 2004138214	A1	20040715	US 2003-695597	20031028
WO 2004041820	A1	20040521	WO 2003-EP12198	20031103

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

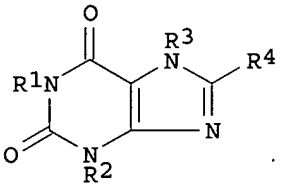
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DE 2002-10251927 A 20021108
US 2002-429173P P 20021126

OTHER SOURCE(S): MARPAT 140:423521

ED Entered STN: 20 May 2004

GI



I

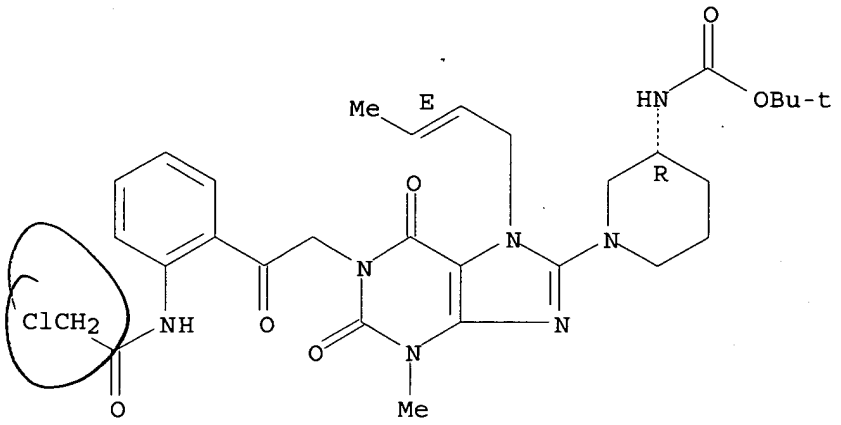
AB Title compds. [I; R1 = (condensed heterocyclyl-substituted) C1-3 alkyl, etc.; R2 = H, alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R3 = (substituted) alkyl, aryl, alkenyl, alkynyl, etc.; R4 = (substituted) azetidin-1-yl, pyrrolidin-1-yl, piperidin-1-yl, hexahydroazepin-1-yl, etc.] and tautomerics, stereoisomerics, mixts., prodrug, and salts thereof, were prepared Thus, 1-[(1-methyl-2,2-dioxo-1H-benzo[c][1,2]thiazin-4-yl)methyl]-3-methyl-7-(3-methyl-2-buten-1-yl)-8-[3-(tert-butyloxycarbonylamino)piperidin-1-yl]xanthine (preparation given) in CH2Cl2 was treated with isopropanolic HCl followed by stirring for 3 h at room temperature to give 77% 1-[(1-methyl-2,2-dioxo-1H-benzo[c][1,2]thiazin-4-yl)methyl]-3-methyl-7-(3-methyl-2-buten-1-yl)-8-(3-aminopiperidin-1-yl)xanthine. The latter inhibited DPP-IV with IC50 = 13 nM.

IT **690996-66-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of xanthines as inhibitors of dipeptidyl peptidase IV (DPP-IV))

RN 690996-66-8 CAPLUS

CN Carbamic acid, [(3R)-1-[7-(2E)-2-butenyl-1-[2-[2-[(chloroacetyl)amino]phenyl]-2-oxoethyl]-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L19 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:177908 CAPLUS
DOCUMENT NUMBER: 140:235733
TITLE: Preparation of xanthines as dipeptidylpeptidase IV inhibitors for the treatment of diabetes
INVENTOR(S): Eckhardt, Matthias; Himmelsbach, Frank; Langkopf, Elke; Maier, Roland; Mark, Michael; Lotz, Ralf
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
SOURCE: Ger. Offen., 22 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

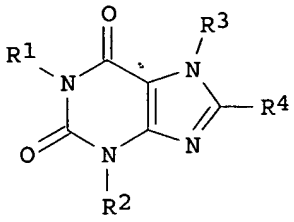
This case

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10238470	A1	20040304	DE 2002-10238470	20020822
US 2004166125	A1	20040826	US 2003-636088	20030807
WO 2004018467	A2	20040304	WO 2003-EP9096	20030816
WO 2004018467	A3	20040513		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DE 2002-10238470 A 20020822
US 2002-409258P P 20020909

OTHER SOURCE(S): MARPAT 140:235733
ED Entered STN: 04 Mar 2004
GI



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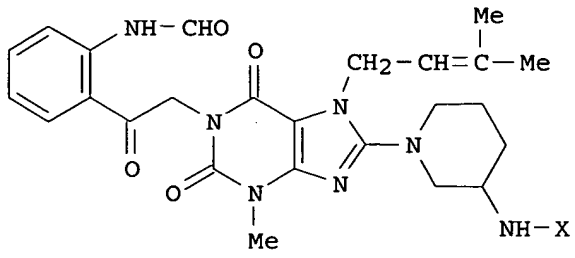


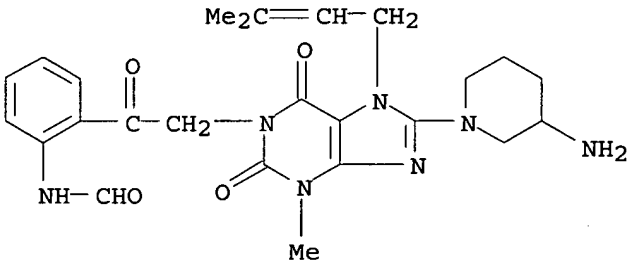
II

AB Title compds. I [R1 = (un)substituted phenylcarbonylmethyl; R2 = H, alkyl, alkenyl, etc.; R3 = (un)substituted alkyl; R4 = (un)substituted azetidin-1-yl, pyrrolidin-1-yl] and their pharmaceutically acceptable salts were prepared For example, BOC deprotection of amine II (X = Boc), e.g., prepared from 3-Methyl-8-chloroxanthine, via TFA afforded claimed xanthine II (X = H) in 87% yield. In dipeptidylpeptidase IV inhibition assays, 7-examples of compds. I exhibited IC50 values ranging from 3-11 nM, e.g., the IC50 value of xanthine II (X = H) was 5 nM. Compds. I are claimed useful for the treatment of type I and type II diabetes.

IT **666816-75-7P**, 1-[2-(2-Formylaminophenyl)-2-oxoethyl]-3-methyl-7-(3-methyl-2-buten-1-yl)-8-(3-aminopiperidin-1-yl)xanthine
666816-77-9P, 1-[2-(2-Formylaminophenyl)-2-oxoethyl]-3-methyl-7-(2-butyn-1-yl)-8-(3-aminopiperidin-1-yl)xanthine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of xanthines as dipeptidylpeptidase IV inhibitors for the treatment of diabetes)

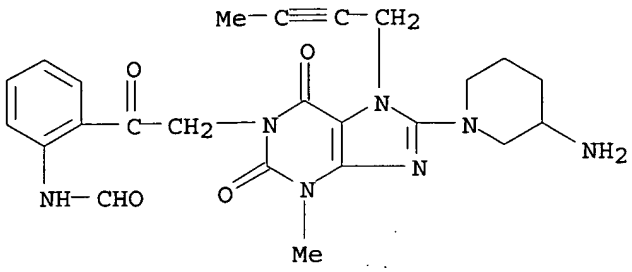
RN 666816-75-7 CAPLUS

CN Formamide, N-[2-[[8-(3-amino-1-piperidinyl)-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

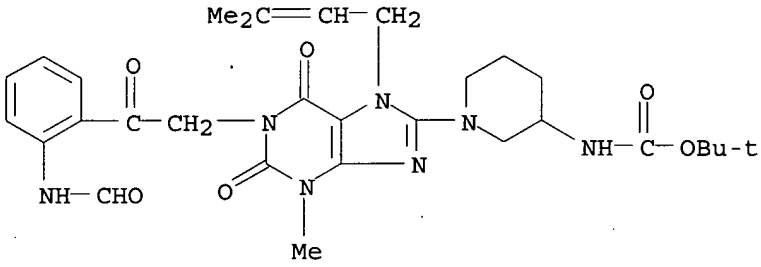


RN 666816-77-9 CAPLUS

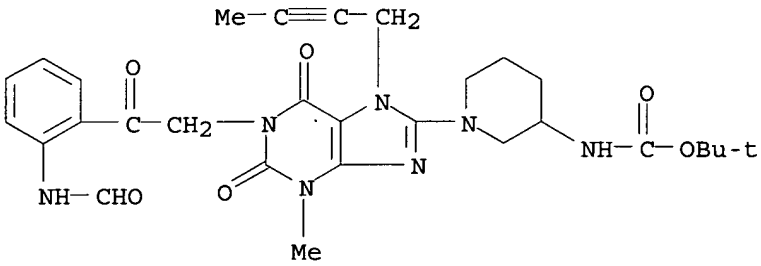
CN Formamide, N-[2-[[8-(3-amino-1-piperidinyl)-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)



IT **666816-83-7P 666816-84-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of xanthines as dipeptidylpeptidase IV inhibitors for the treatment of diabetes)
RN 666816-83-7 CAPLUS
CN Carbamic acid, [1-[1-[2-[2-(formylamino)phenyl]-2-oxoethyl]-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 666816-84-8 CAPLUS
CN Carbamic acid, [1-[7-(2-butynyl)-1-[2-[2-(formylamino)phenyl]-2-oxoethyl]-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:177895 CAPLUS
DOCUMENT NUMBER: 140:235732
TITLE: Production of 8-[3-aminopiperidin-1-yl]xanthines and their use as drugs
INVENTOR(S): Himmelsbach, Frank; Eckhardt, Matthias; Langkopf, Elke; Mark, Michael; Maier, Roland; Lotz, Ralf
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.,

Germany
SOURCE: Ger. Offen., 52 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10238243	A1	20040304	DE 2002-10238243	20020821
US 2004097510	A1	20040520	US 2003-639036	20030812
WO 2004018468	A2	20040304	WO 2003-EP9127	20030818
WO 2004018468	A3	20040408		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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PRIORITY APPLN. INFO.:
DE 2002-10238243 A 20020821
US 2002-409312P P 20020909
DE 2003-10312353 A 20030320
US 2003-461752P P 20030410

OTHER SOURCE(S): MARPAT 140:235732
ED Entered STN: 04 Mar 2004
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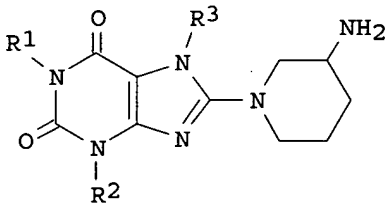

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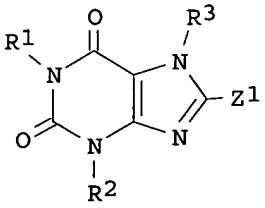

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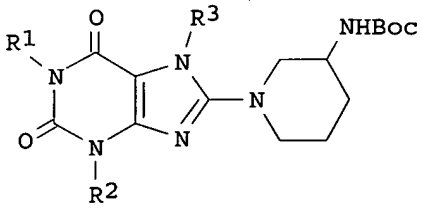


III

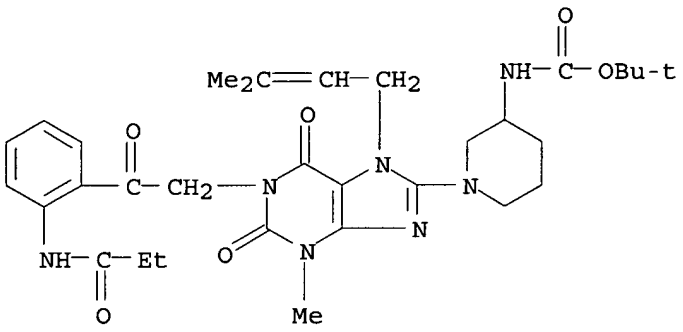
AB The present invention concerns substituted xanthines, e.g., I [R1 = Me, CH2CONMe2, CH2CO-(pyrrolidin-1-yl), CH2CO-(piperidin-1-yl), (un)substituted CH2-naphthyl, CH2CH:CHPh, CH2C6H4Ph, CH2-

(phenyloxadiazolyl), CH2(5-methyl-3-phenylisoxazolyl), CH2(phenylpyridinyl), CH2-indolinyl, CH2-quinolinyl, CH2-isoquinolinyl, CH2-quinazolinyl, CH2-(3,4-dihydro-4-oxophthalazinyl), CH2-(2-oxo-2H-chromenyl),CH2CH2OEt, CH2CH2OPh, CH2CH2CN, CH2COPh, CH2CH2COPh, etc.; R2 = H, Me, CHMe2, CH:CHMe, C.tplbond.CMe, Ph, CH2CN, CH2CO2Me ; R3 = CH2C2H4CN-2, CH2C2H3(CN)2-2,6, CH2CMe:CH2, CH2CCl:CH2, CH2CH:CHBr, CH2CH:CHMe, CH2CH:CMe2, CH2CMe:CMe2, CH2C.tplbond.CMe, (1-cyclopenten-1-yl)methyl, 2-furanylmethyl] their tautomers, their stereoisomers, their mixts., their prodrugs and their salts, which contain valuable pharmacol. properties, in particular an inhibiting effect on the activity of the enzyme dipeptidylpeptidase IV (DPP-IV). The procedure for the preparation of I is characterized by, reaction of xanthine II [Z1 = leaving group, e.g. halogen, substituted OH, SH, sulfinyl, sulfonyl, sulfonyloxy] with 3-aminopiperidine, its enantiomers, or their salts or its preparation via piperidine derivative III (Boc = CO2CMe3). Thus, 1-[(quinazolin-2-yl)methyl]-3-methyl-7-(2-butyn-1-yl)-8-[(R)-3-aminopiperidin-1-yl]xanthine [(R)-I; R1 = (quinazolin-2-yl)methyl, R2 = Me, R3 = CH2C.tplbond.CMe] was prepared from III [R1 = (quinazolin-2-yl)methyl, R2 = Me, R3 = CH2C.tplbond.CMe] via deprotection with CF3CO2H in CH2Cl2. The inhibiting effect of (R)-I [R1 = (quinazolin-2-yl)methyl, R2 = Me, R3 = CH2C.tplbond.CMe] on the activity of the enzyme dipeptidylpeptidase IV was determined [IC50 = 1 nM].

IT **668274-99-5P 668275-01-2P 668275-02-3P**
668275-03-4P 668275-04-5P 668275-05-6P
668275-06-7P 668275-07-8P 668275-08-9P
668275-10-3P 668275-11-4P 668275-12-5P
668275-13-6P 668275-14-7P 668275-15-8P
668275-16-9P 668275-17-0P 668275-18-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation and inhibiting activity of 8-[3-aminopiperidin-1-yl]xanthines against dipeptidylpeptidase IV)

RN 668274-99-5 CAPLUS

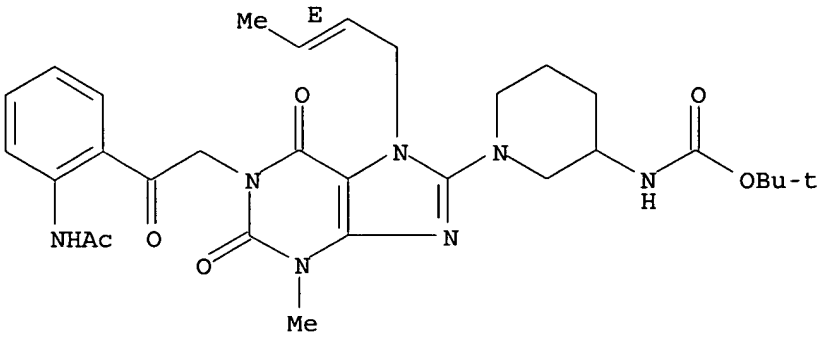
CN Carbamic acid, [1-[2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1-[2-oxo-2-[2-[(1-oxopropyl)amino]phenyl]ethyl]-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 668275-01-2 CAPLUS

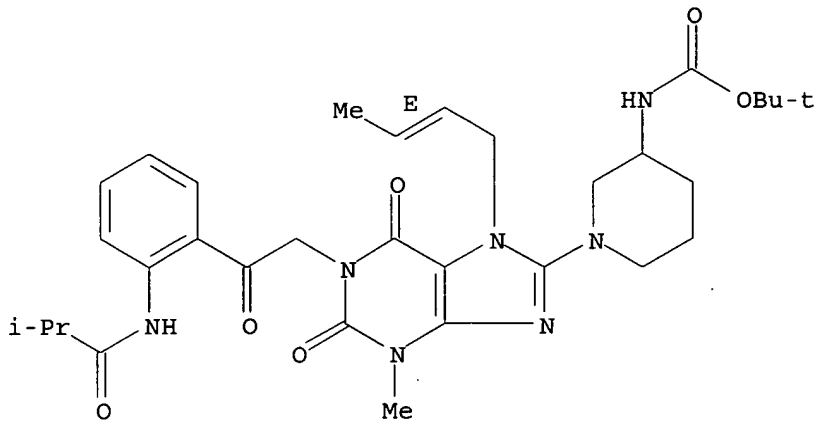
CN Carbamic acid, [1-[1-[2-[2-(acetylamino)phenyl]-2-oxoethyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



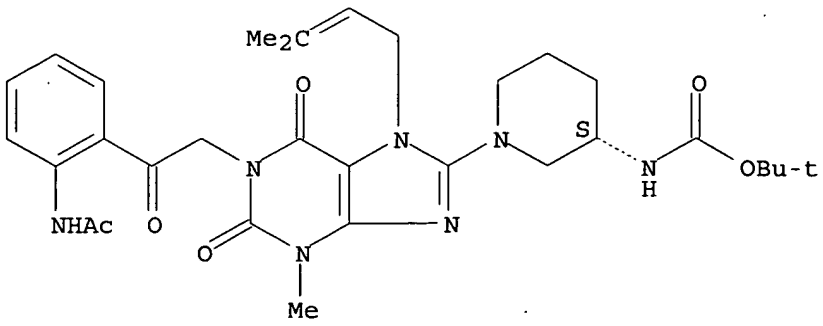
RN 668275-02-3 CAPLUS
CN Carbamic acid, [1-[7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-1-[2-[2-[(2-methyl-1-oxopropyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



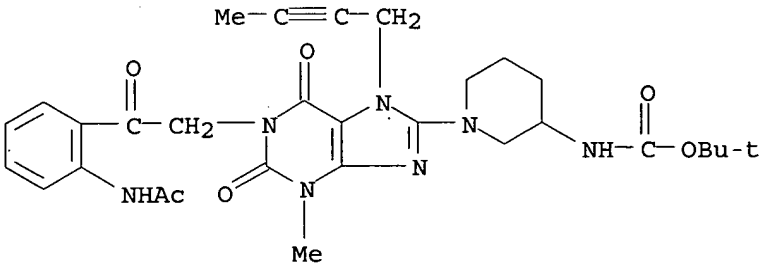
RN 668275-03-4 CAPLUS
CN Carbamic acid, [(3S)-1-[1-[2-[2-(acetylamino)phenyl]-2-oxoethyl]-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

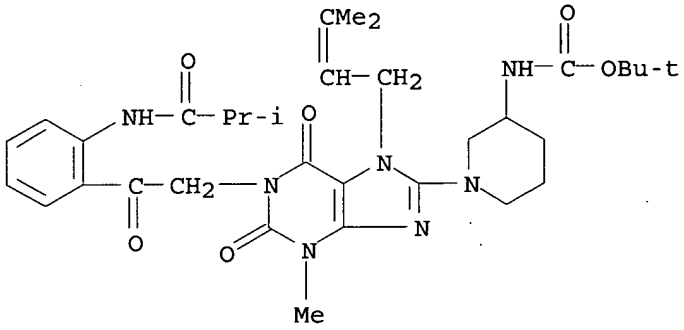


RN 668275-04-5 CAPLUS

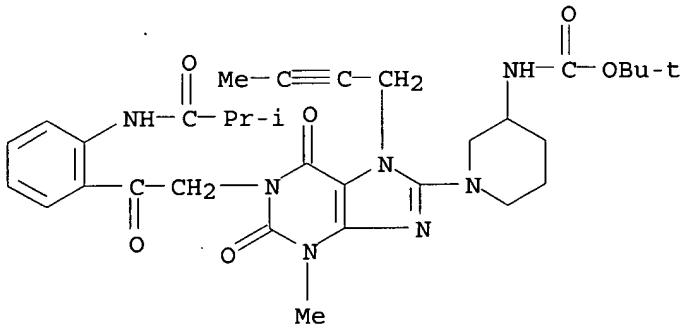
CN Carbamic acid, [1-[1-[2-[2-(acetylamino)phenyl]-2-oxoethyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 668275-05-6 CAPLUS
CN Carbamic acid, [1-[2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-1-[2-[2-[(2-methyl-1-oxopropyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

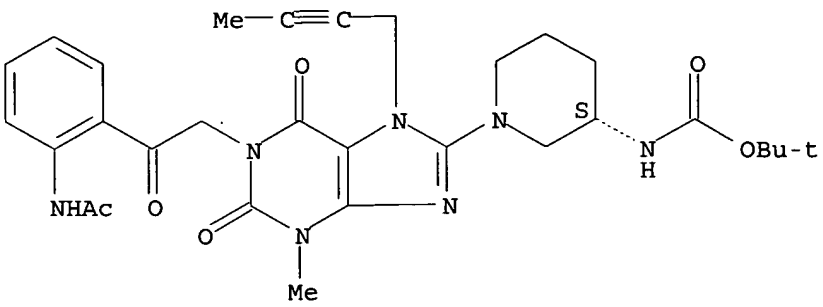


RN 668275-06-7 CAPLUS
CN Carbamic acid, [1-[7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-1-[2-[2-[(2-methyl-1-oxopropyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



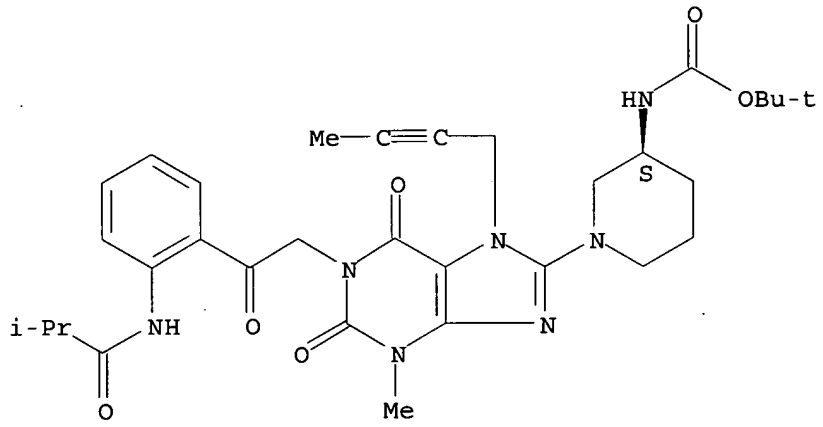
RN 668275-07-8 CAPLUS
CN Carbamic acid, [(3S)-1-[1-[2-[2-(acetylamino)phenyl]-2-oxoethyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



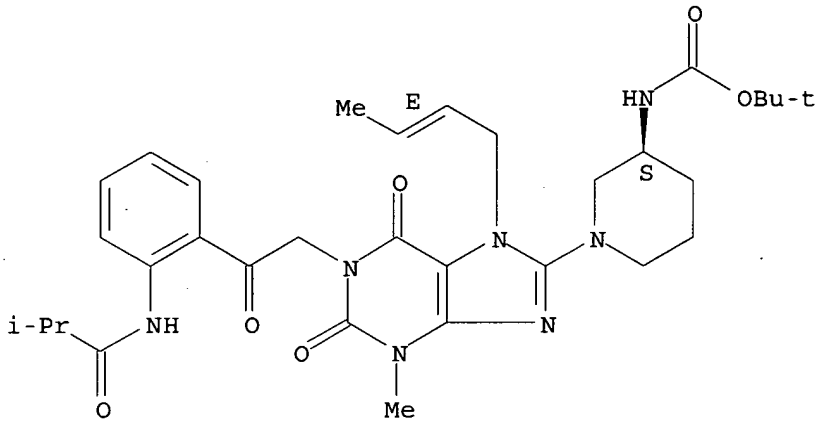
RN 668275-08-9 CAPLUS
CN Carbamic acid, [(3S)-1-[7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-1-[2-[2-[(2-methyl-1-oxopropyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



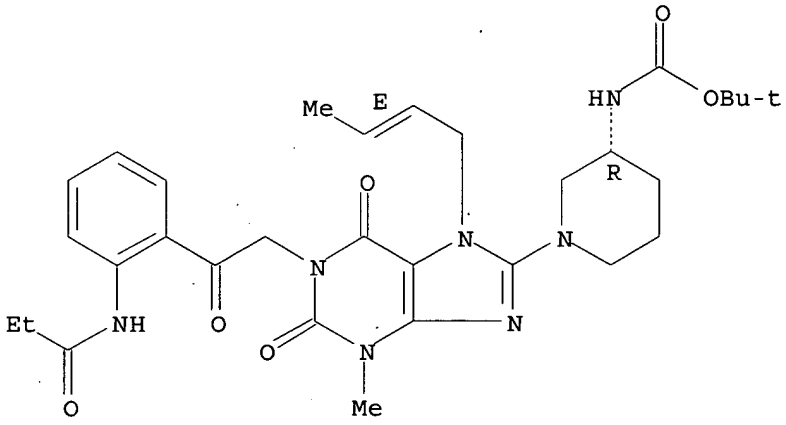
RN 668275-10-3 CAPLUS
CN Carbamic acid, [(3S)-1-[7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-1-[2-[2-[(2-methyl-1-oxopropyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



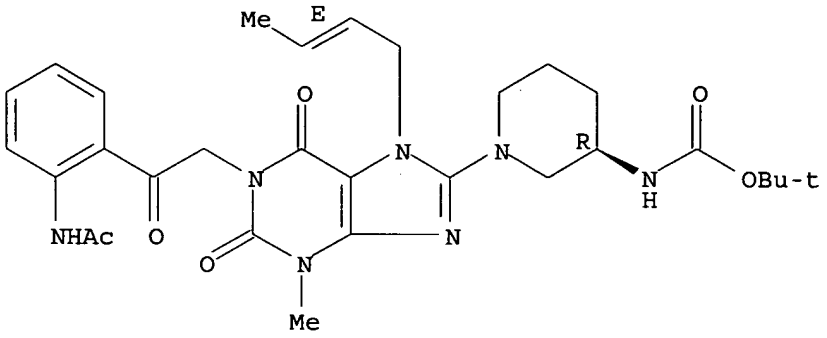
RN 668275-11-4 CAPLUS
CN Carbamic acid, [(3R)-1-[7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1-[2-oxo-2-[2-[(1-oxopropyl)amino]phenyl]ethyl]-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



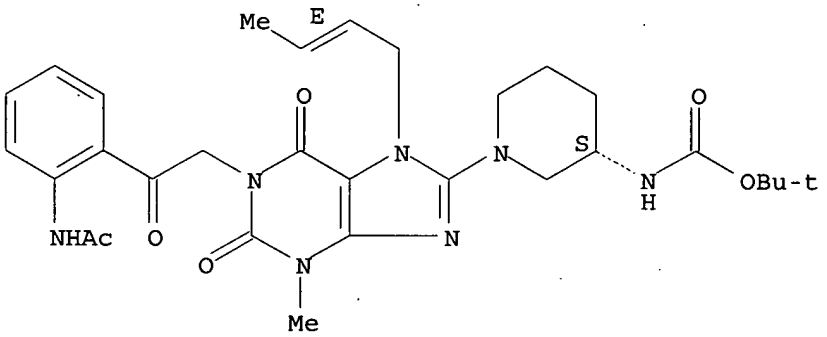
RN 668275-12-5 CAPLUS
CN Carbamic acid, [(3R)-1-[1-[2-[2-(acetylamino)phenyl]-2-oxoethyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



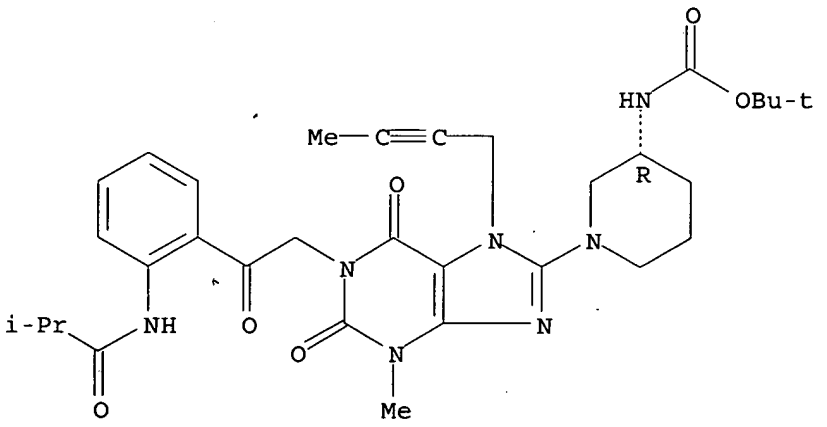
RN 668275-13-6 CAPLUS
CN Carbamic acid, [(3S)-1-[1-[2-[2-(acetylamino)phenyl]-2-oxoethyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 668275-14-7 CAPLUS
CN Carbamic acid, [(3R)-1-[7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-1-[2-[2-[(2-methyl-1-oxopropyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

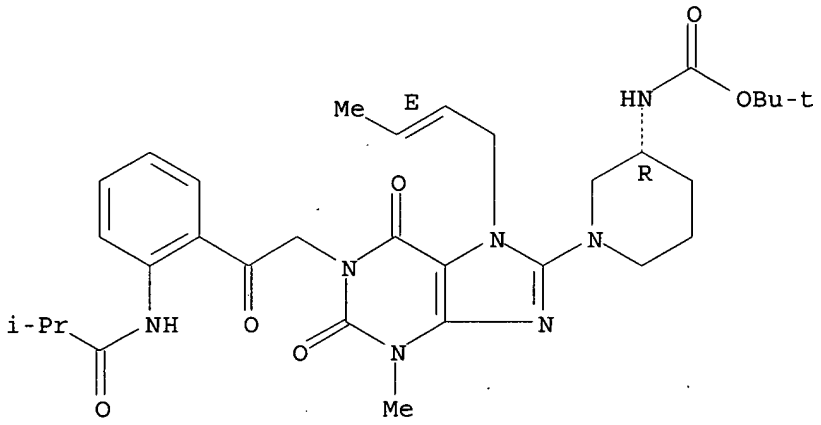
Absolute stereochemistry.



Searched by Barb O'Bryen, STIC 2-2518

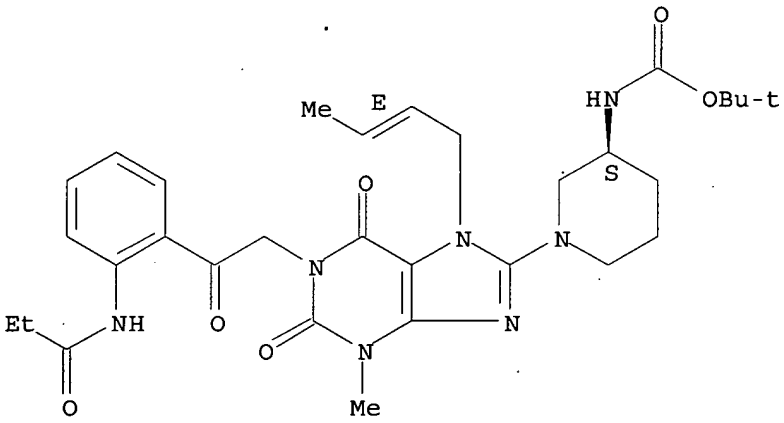
RN 668275-15-8 CAPLUS
CN Carbamic acid, [(3R)-1-[7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-1-[2-[2-[(2-methyl-1-oxopropyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



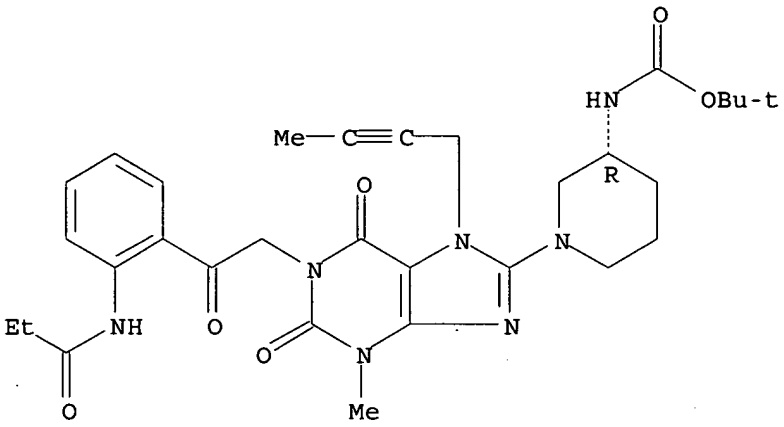
RN 668275-16-9 CAPLUS
CN Carbamic acid, [(3S)-1-[7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1-[2-oxo-2-[2-[(1-oxopropyl)amino]phenyl]ethyl]-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



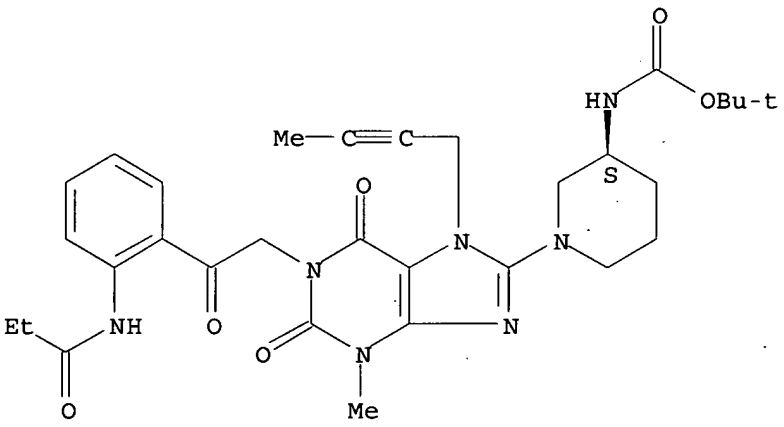
RN 668275-17-0 CAPLUS
CN Carbamic acid, [(3R)-1-[7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1-[2-oxo-2-[2-[(1-oxopropyl)amino]phenyl]ethyl]-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

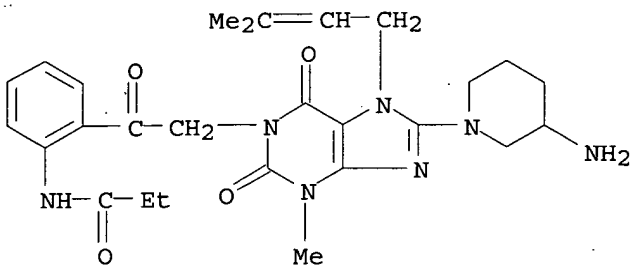


RN 668275-18-1 CAPLUS
CN Carbamic acid, [(3S)-1-[7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1-[2-oxo-2-[2-[(1-oxopropyl)amino]phenyl]ethyl]-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

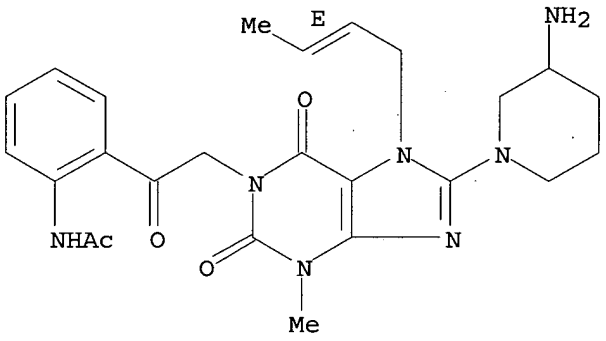


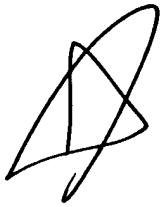
IT **668268-86-8P 668269-66-7P 668269-70-3P**
668269-75-8P 668269-80-5P 668269-86-1P
668269-88-3P 668269-89-4P 668269-90-7P
668270-15-3P 668270-16-4P 668270-17-5P
668270-19-7P 668270-21-1P 668270-22-2P
668270-24-4P 668270-25-5P 668270-26-6P
668270-27-7P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and inhibiting activity of 8-[3-aminopiperidin-1-yl]xanthines against dipeptidylpeptidase IV)
RN 668268-86-8 CAPLUS
CN Propanamide, N-[2-[[8-(3-amino-1-piperidinyl)-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)



RN 668269-66-7 CAPLUS
CN Acetamide, N-[2-[[8-(3-amino-1-piperidinyl)-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

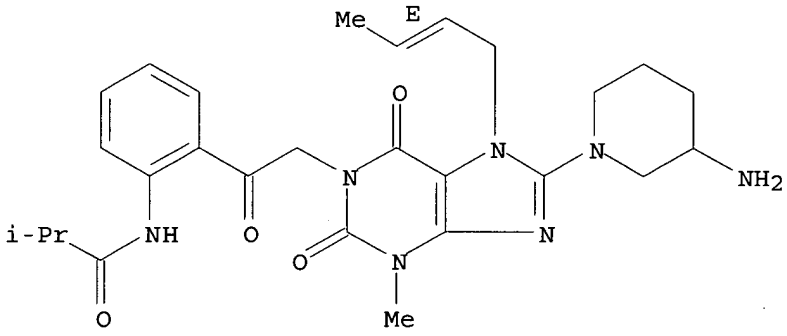
Double bond geometry as shown.





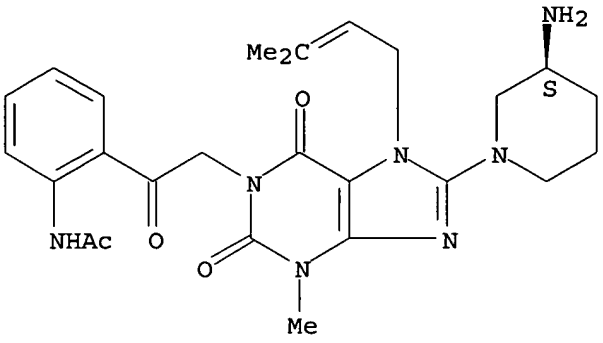
RN 668269-70-3 CAPLUS
CN Propanamide, N-[2-[[8-(3-amino-1-piperidinyl)-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

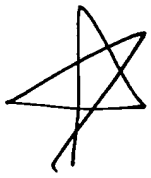
Double bond geometry as shown.



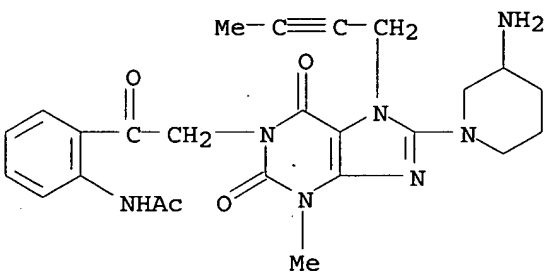
RN 668269-75-8 CAPLUS
CN Acetamide, N-[2-[[8-[(3S)-3-amino-1-piperidinyl]-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

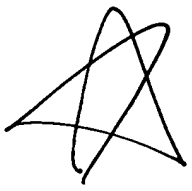
Absolute stereochemistry.



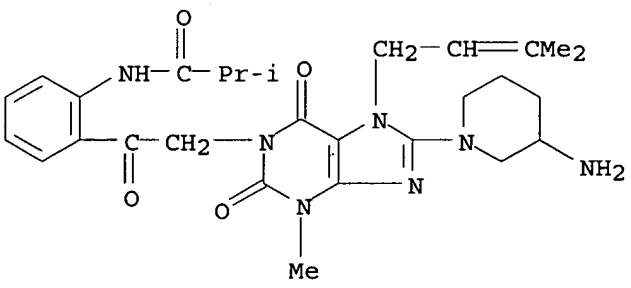


RN 668269-80-5 CAPLUS
CN Acetamide, N-[2-[[8-(3-amino-1-piperidinyl)-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

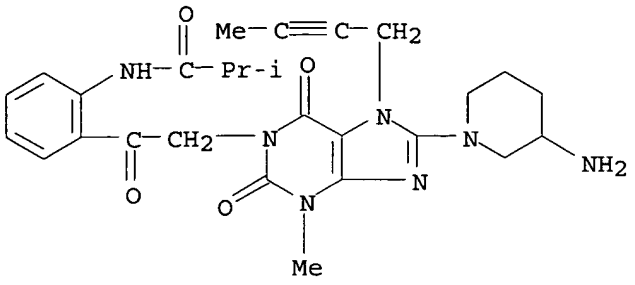




RN 668269-86-1 CAPLUS
CN Propanamide, N-[2-[[8-(3-amino-1-piperidinyl)-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

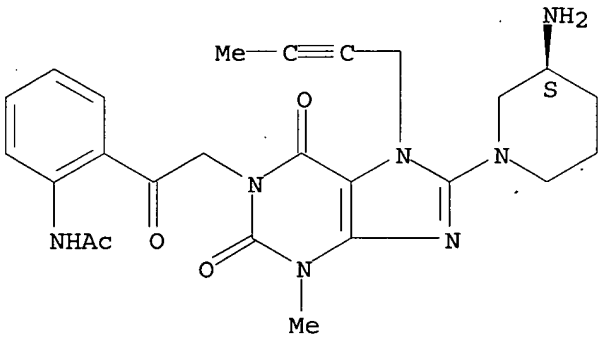


RN 668269-88-3 CAPLUS
CN Propanamide, N-[2-[[8-(3-amino-1-piperidinyl)-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)



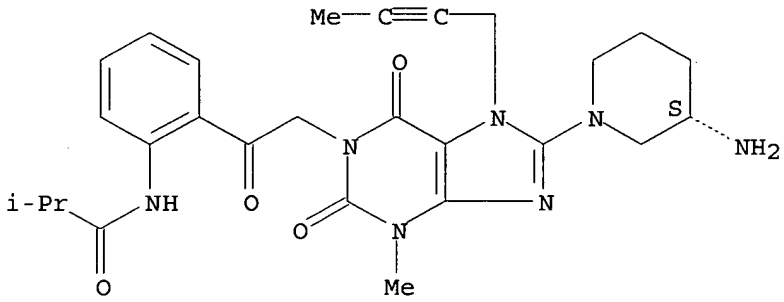
RN 668269-89-4 CAPLUS
CN Acetamide, N-[2-[[8-[(3S)-3-amino-1-piperidinyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 668269-90-7 CAPLUS
CN Propanamide, N-[2-[[8-[(3S)-3-amino-1-piperidinyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

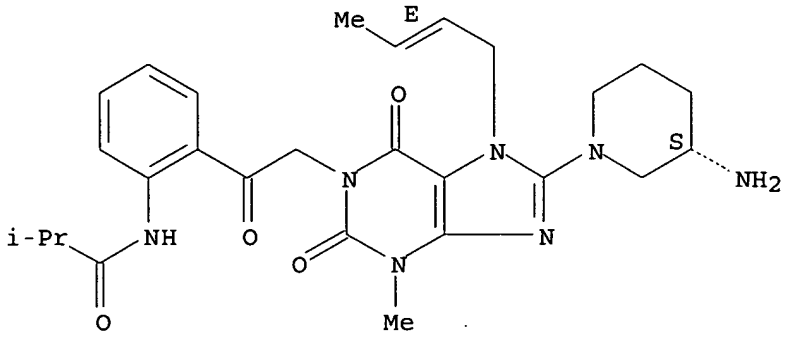
Absolute stereochemistry.



RN 668270-15-3 CAPLUS
CN Propanamide, N-[2-[[8-[(3S)-3-amino-1-piperidinyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

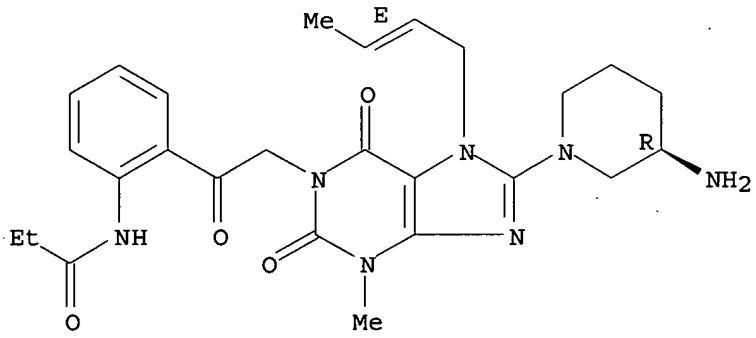
Absolute stereochemistry.

Double bond geometry as shown.



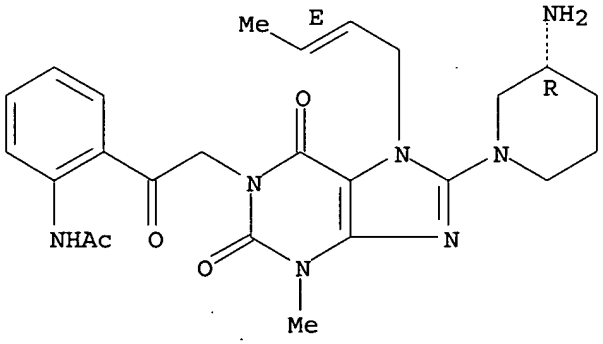
RN 668270-16-4 CAPLUS
CN Propanamide, N-[2-[[8-[(3R)-3-amino-1-piperidinyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



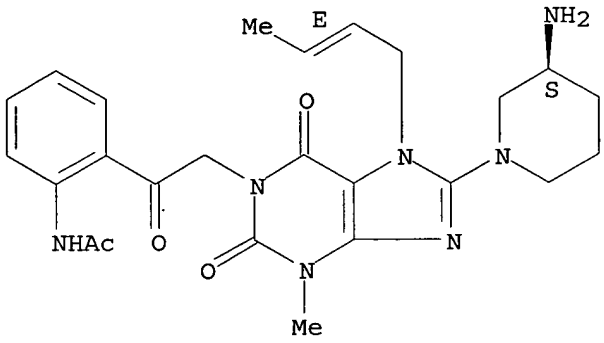
RN 668270-17-5 CAPLUS
CN Acetamide, N-[2-[[8-[(3R)-3-amino-1-piperidinyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



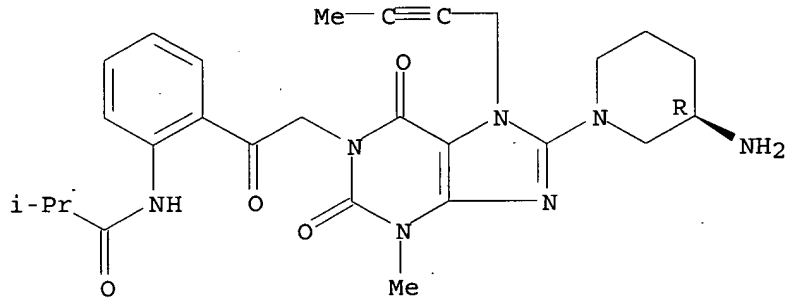
RN 668270-19-7 CAPLUS
CN Acetamide, N-[2-[[8-[(3S)-3-amino-1-piperidinyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



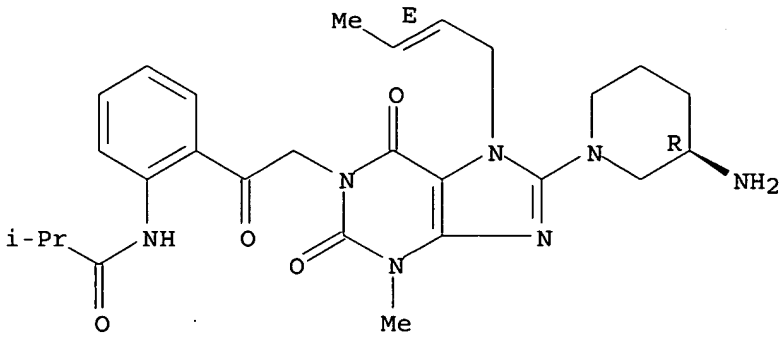
RN 668270-21-1 CAPLUS
CN Propanamide, N-[2-[[8-[(3R)-3-amino-1-piperidinyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



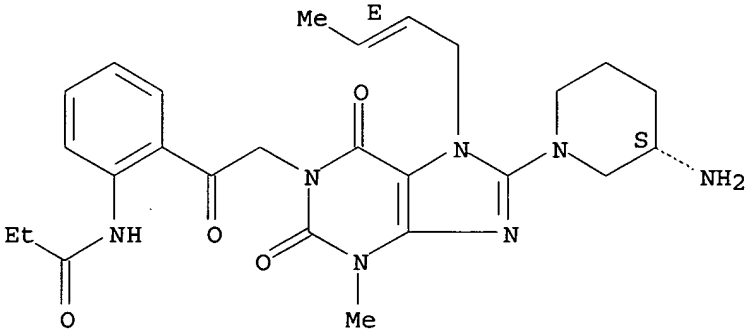
RN 668270-22-2 CAPLUS
CN Propanamide, N-[2-[[8-[(3R)-3-amino-1-piperidinyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



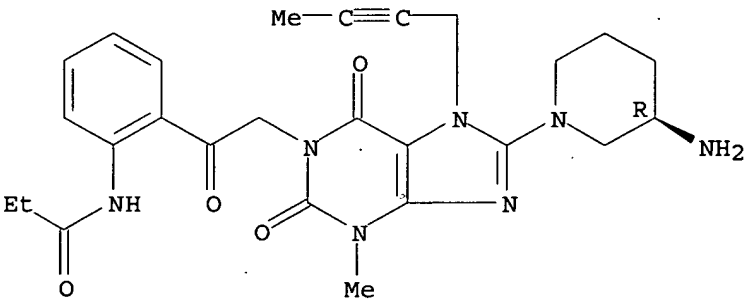
RN 668270-24-4 CAPLUS
CN Propanamide, N-[2-[[8-[(3S)-3-amino-1-piperidinyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 668270-25-5 CAPLUS
CN Propanamide, N-[2-[[8-[(3R)-3-amino-1-piperidinyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

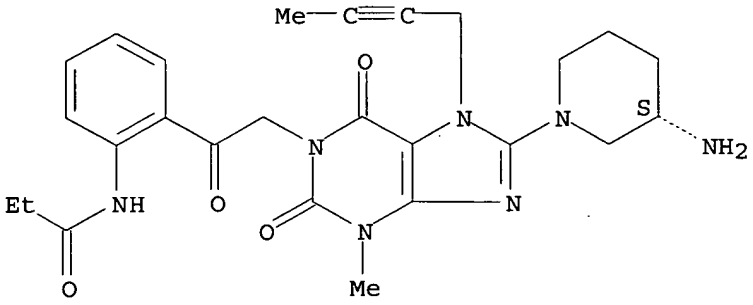
Absolute stereochemistry.



RN 668270-26-6 CAPLUS
CN Propanamide, N-[2-[[8-[(3S)-3-amino-1-piperidinyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA

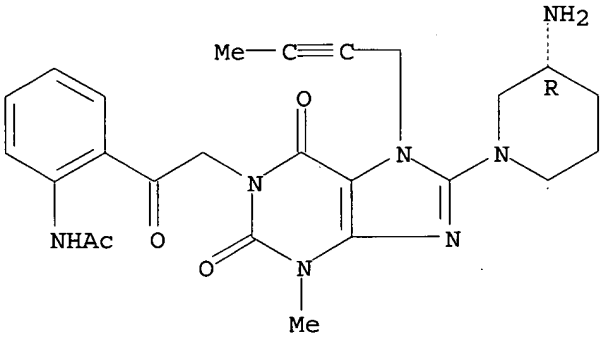
INDEX NAME)

Absolute stereochemistry.



RN 668270-27-7 CAPLUS
CN Acetamide, N-[2-[[8-[(3R)-3-amino-1-piperidinyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:676018 CAPLUS
DOCUMENT NUMBER: 137:216824
TITLE: Preparation of xanthine derivatives as dipeptidylpeptidase-IV inhibitors
INVENTOR(S): Himmelsbach, Frank; Mark, Michael; Eckhardt, Matthias; Langkopf, Elke; Maier, Roland; Lotz, Ralf
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: PCT Int. Appl., 373 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002068420	A1	20020906	WO 2002-EP1820	20020221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				

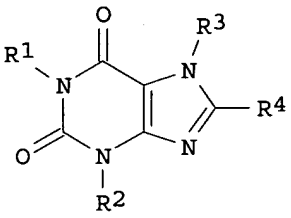
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10109021	A1	20020905	DE 2001-10109021	20010224
DE 10117803	A1	20021024	DE 2001-10117803	20010410
DE 10140345	A1	20030227	DE 2001-10140345	20010817
DE 10203486	A1	20030731	DE 2002-10203486	20020130
CA 2435730	AA	20020906	CA 2002-2435730	20020221
EP 1368349	A1	20031210	EP 2002-701288	20020221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300409	A	20031215	EE 2003-409	20020221
BR 2002007767	A	20040330	BR 2002-7767	20020221
JP 2004522786	T2	20040729	JP 2002-567932	20020221
BG 108093	A	20040831	BG 2003-108093	20030813
NO 2003003726	A	20030821	NO 2003-3726	20030821
US 2004077645	A1	20040422	US 2003-467961	20031205

PRIORITY APPLN. INFO.:

DE 2001-10109021	A	20010224
DE 2001-10117803	A	20010410
DE 2001-10140345	A	20010817
DE 2002-10203486	A	20020130
WO 2002-EP1820	W	20020221

OTHER SOURCE(S): MARPAT 137:216824
ED Entered STN: 08 Sep 2002
GI



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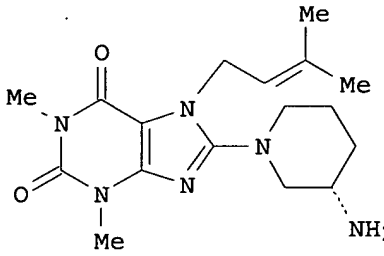


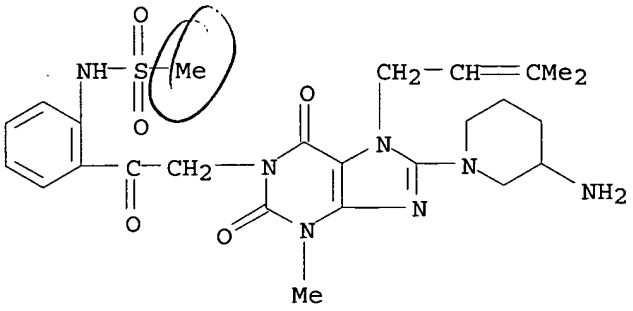
II

AB Xanthine derivs. of formula I [R1, R2 = H, alkyl, alkenyl, etc.; R3 = alkyl, arylalkyl, etc.; R4 = heterocyclyl, cycloalkyl, aminoalkyl, etc.] are prepared which exhibit an inhibitory effect on the activity of the dipeptidylpeptidase-IV enzyme. Pharmaceutical compns. containing I are described. Thus, II was prepared and had an IC50 of 22 nM against dipeptidylpeptidase-IV.

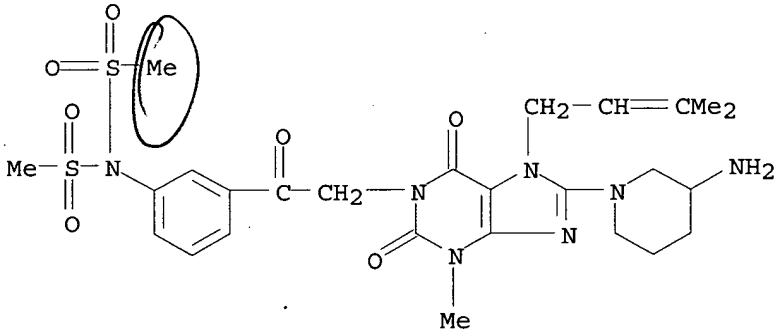
IT **454705-79-4P 454708-04-4P 454708-08-8P**
454708-46-4P 454708-50-0P 454708-66-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of xanthine derivs. as dipeptidylpeptidase-IV inhibitors)

RN 454705-79-4 CAPLUS

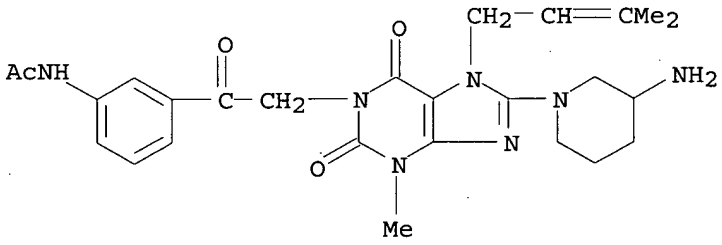
CN Methanesulfonamide, N-[2-[[8-(3-amino-1-piperidinyl)-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)



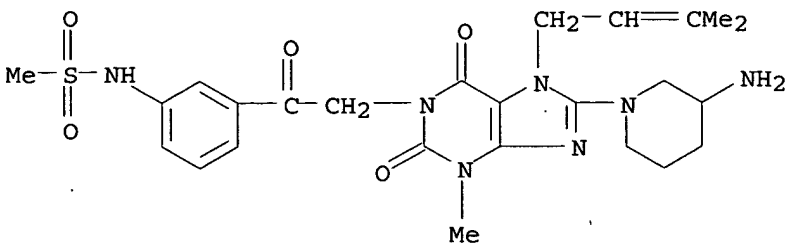
RN 454708-04-4 CAPLUS
CN Methanesulfonamide, N-[3-[[8-(3-amino-1-piperidinyl)-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)



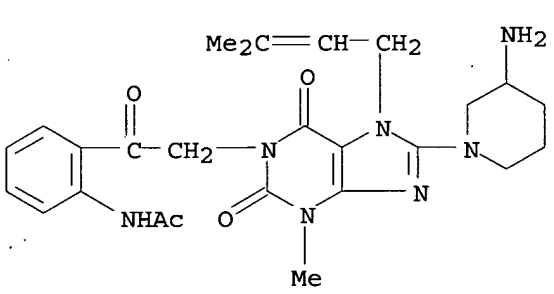
RN 454708-08-8 CAPLUS
CN Acetamide, N-[3-[[8-(3-amino-1-piperidinyl)-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)



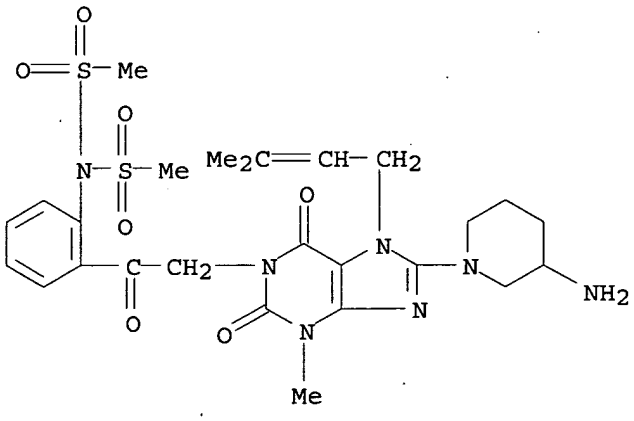
RN 454708-46-4 CAPLUS
CN Methanesulfonamide, N-[3-[[8-(3-amino-1-piperidinyl)-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)



RN 454708-50-0 CAPLUS
CN Acetamide, N-[2-[[8-(3-amino-1-piperidinyl)-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

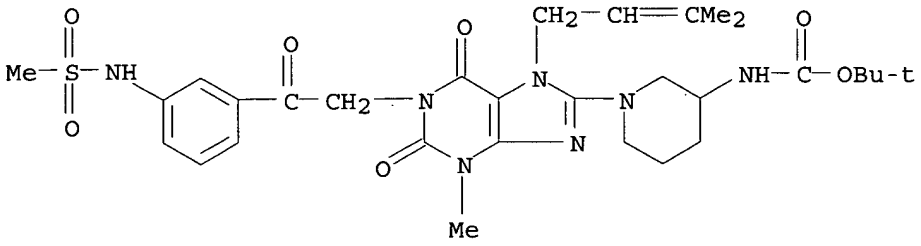


RN 454708-66-8 CAPLUS
CN Methanesulfonamide, N-[2-[[8-(3-amino-1-piperidinyl)-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

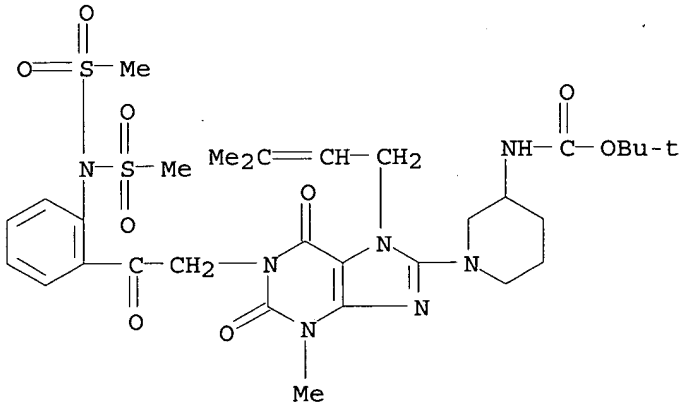


IT **454712-60-8P 454712-62-0P 454712-92-6P 454712-93-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of xanthine derivs. as dipeptidylpeptidase-IV inhibitors)
RN 454712-60-8 CAPLUS
CN Carbamic acid, [1-[2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-1-[2-

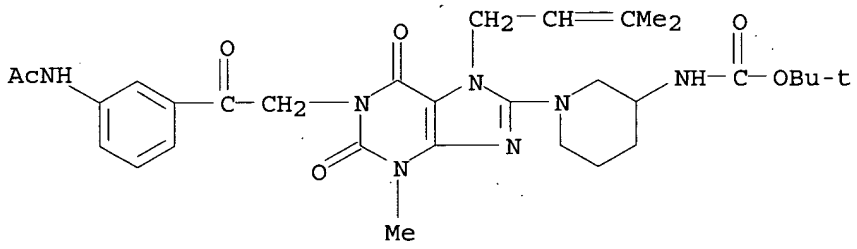
[3-[(methylsulfonyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



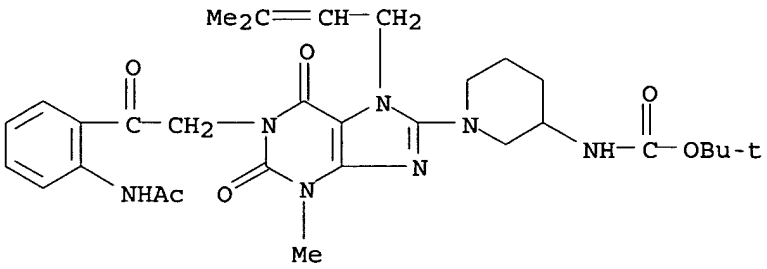
RN 454712-62-0 CAPLUS
CN Carbamic acid, [1-[1-[2-[2-[bis(methylsulfonyl)amino]phenyl]-2-oxoethyl]-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 454712-92-6 CAPLUS
CN Carbamic acid, [1-[1-[2-[3-(acetylamino)phenyl]-2-oxoethyl]-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 454712-93-7 CAPLUS
CN Carbamic acid, [1-[1-[2-[2-(acetylamino)phenyl]-2-oxoethyl]-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 6 OF 8 USPATFULL on STN
ACCESSION NUMBER: 2004:215019 USPATFULL
TITLE: Xanthine derivatives, their preparation and their use in pharmaceutical compositions
INVENTOR(S): Himmelsbach, Frank, Mittelbiberach, GERMANY, FEDERAL REPUBLIC OF
Langkopf, Elke, Warthausen, GERMANY, FEDERAL REPUBLIC OF
Eckhardt, Matthias, Biberach, GERMANY, FEDERAL REPUBLIC OF
Mark, Michael, Biberach, GERMANY, FEDERAL REPUBLIC OF
Maier, Roland, Biberach an der Riss, GERMANY, FEDERAL REPUBLIC OF
Lotz, Ralf R. H., Schemmerhofen, GERMANY, FEDERAL REPUBLIC OF
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma GmbH & Co. KG, Ingelheim, GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2004166125	A1	20040826	
APPLICATION INFO.:	US 2003-636088	A1	20030807	(10)

	NUMBER	DATE
PRIORITY INFORMATION:	DE 2002-DE10238470	20020822
	US 2002-409258P	20020909 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: BOEHRINGER INGELHEIM CORPORATION, 900 RIDGEBURY ROAD, P. O. BOX 368, RIDGEFIELD, CT, 06877
NUMBER OF CLAIMS: 15
EXEMPLARY CLAIM: 1
LINE COUNT: 1596
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds of formula (I) ##STR1##

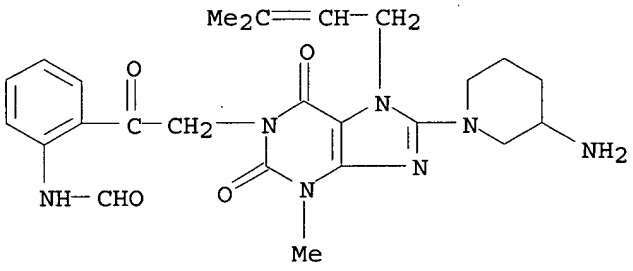
wherein R.sup.1 to R.sup.4 are defined as in the claims, or the prodrugs or salts thereof, particularly the physiologically acceptable salts thereof, pharmaceutical compositions containing these compounds, and methods of treating type I and type II diabetes mellitus, arthritis, obesity, allograft transplantation, or calcitonin-induced osteoporosis using these compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **666816-75-7P**, 1-[2-(2-Formylaminophenyl)-2-oxoethyl]-3-methyl-7-(3-methyl-2-buten-1-yl)-8-(3-aminopiperidin-1-yl)xanthine
666816-77-9P, 1-[2-(2-Formylaminophenyl)-2-oxoethyl]-3-methyl-7-(2-butyn-1-yl)-8-(3-aminopiperidin-1-yl)xanthine
(preparation of xanthines as dipeptidylpeptidase IV inhibitors for the treatment of diabetes)

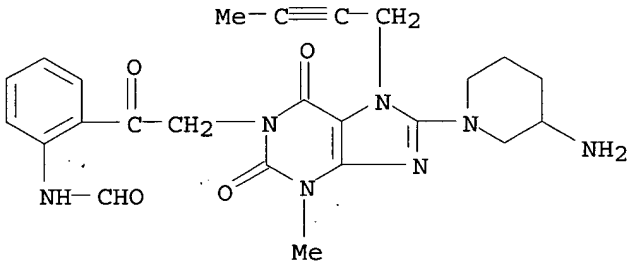
RN 666816-75-7 USPATFULL

CN Formamide, N-[2-[[8-(3-amino-1-piperidinyl)-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)



RN 666816-77-9 USPATFULL

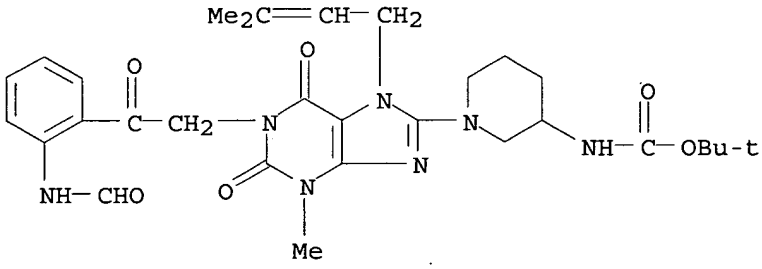
CN Formamide, N-[2-[[8-(3-amino-1-piperidinyl)-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)



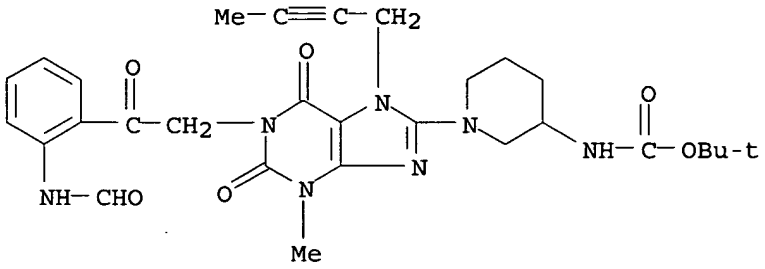
IT **666816-83-7P 666816-84-8P**
(preparation of xanthines as dipeptidylpeptidase IV inhibitors for the treatment of diabetes)

RN 666816-83-7 USPATFULL

CN Carbamic acid, [1-[1-[2-[2-(formylamino)phenyl]-2-oxoethyl]-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 666816-84-8 USPATFULL
CN Carbamic acid, [1-[7-(2-butynyl)-1-[2-[2-(formylamino)phenyl]-2-oxoethyl]-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 7 OF 8 USPATFULL on STN
ACCESSION NUMBER: 2004:179044 USPATFULL
TITLE: Xanthine derivatives, the preparation thereof and their use as pharmaceutical compositions
INVENTOR(S): Himmelsbach, Frank, Mittelbiberach, GERMANY, FEDERAL REPUBLIC OF
Langkopf, Elke, Warthausen, GERMANY, FEDERAL REPUBLIC OF
Eckhardt, Matthias, Biberach, GERMANY, FEDERAL REPUBLIC OF
Maier, Roland, Biberach, GERMANY, FEDERAL REPUBLIC OF
Mark, Michael, Biberach, GERMANY, FEDERAL REPUBLIC OF
Tadayyon, Mohammad, Ulm, GERMANY, FEDERAL REPUBLIC OF
Lotz, Ralf R. H., Schemmerhofen, GERMANY, FEDERAL REPUBLIC OF
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma GmbH & Co. KG, Ingelheim, GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

B102

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004138214	A1	20040715
APPLICATION INFO.:	US 2003-695597	A1	20031028 (10)

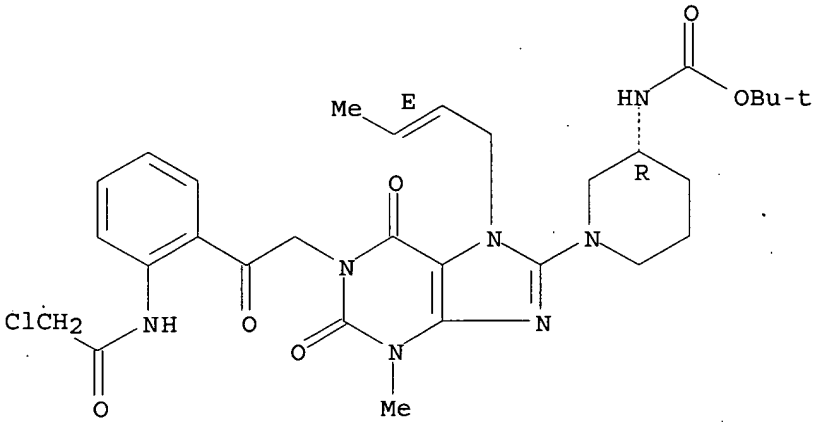
	NUMBER	DATE
PRIORITY INFORMATION:	DE 2002-10251927	20021108
	US 2002-429173P	20021126 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: BOEHRINGER INGELHEIM CORPORATION, 900 RIDGEBURY ROAD, P. O. BOX 368, RIDGEFIELD, CT, 06877
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 2829
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Disclosed are substituted xanthines of general formula ##STR1##

wherein R.sup.1 to R.sup.4 are defined hereinbelow, the tautomers, the stereoisomers, the mixtures thereof, the prodrugs thereof and the salts thereof, which have valuable pharmacological properties, particularly an inhibitory effect on the activity of the enzyme dipeptidylpeptidase-IV (DPP-IV).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **690996-66-8P**
(preparation of xanthines as inhibitors of dipeptidyl peptidase IV (DPP-IV))
RN 690996-66-8 USPATFULL
CN Carbamic acid, [(3R)-1-[7-(2E)-2-butenyl-1-[2-[2-[(chloroacetyl)amino]phenyl]-2-oxoethyl]-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L19 ANSWER 8 OF 8 USPATFULL on STN

ACCESSION NUMBER:	2004:127526 USPATFULL
TITLE:	8-[3-amino-piperidin-1-yl]-xanthines, the preparation thereof and their use as pharmaceutical compositions
INVENTOR(S):	Himmelsbach, Frank, Mittelbiberach, GERMANY, FEDERAL REPUBLIC OF Langkopf, Elke, Warthausen, GERMANY, FEDERAL REPUBLIC OF Eckhardt, Matthias, Biberach, GERMANY, FEDERAL REPUBLIC OF Mark, Michael, Biberach, GERMANY, FEDERAL REPUBLIC OF Maier, Roland, Biberach, GERMANY, FEDERAL REPUBLIC OF Lotz, Ralf R.H., Schemmerhofen, GERMANY, FEDERAL REPUBLIC OF Tadayyon, Mohammad, Ulm, GERMANY, FEDERAL REPUBLIC OF
PATENT ASSIGNEE(S):	Boehringer Ingelheim Pharma GmbH & Co. KG, Ingelheim, GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2004097510	A1	20040520	
APPLICATION INFO.:	US 2003-639036	A1	20030812	(10)

	NUMBER	DATE	
PRIORITY INFORMATION:	DE 2002-10238243	20020821	
	DE 2003-10312353	20030320	
	US 2002-409312P	20020909	(60)
	US 2003-461752P	20030410	(60)

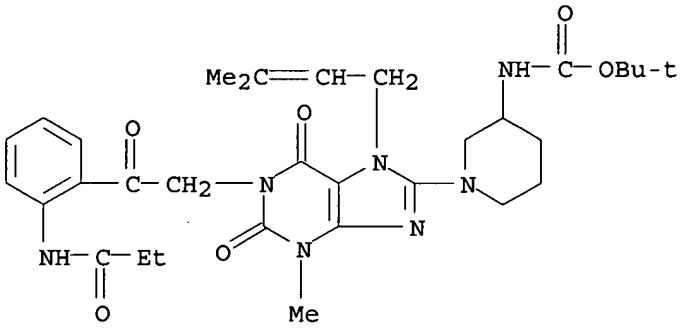
=B.bY

Searched by Barb O'Bryen, STIC 2-2518

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: BOEHRINGER INGELHEIM CORPORATION, 900 RIDGEBURY ROAD, P. O. BOX 368, RIDGEFIELD, CT, 06877
NUMBER OF CLAIMS: 22
EXEMPLARY CLAIM: 1
LINE COUNT: 6652
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to substituted xanthines of general formula ##STR1##

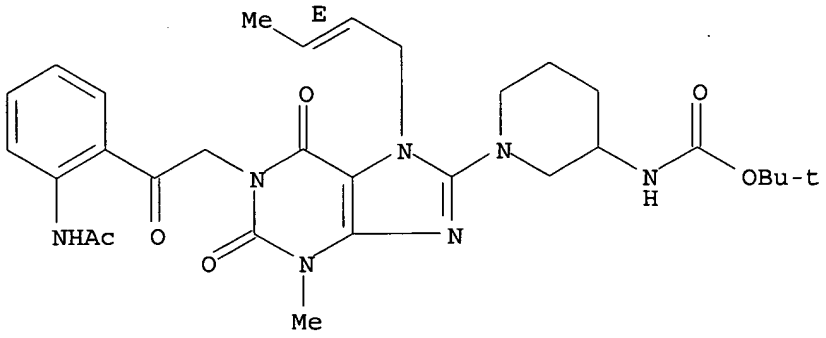
wherein R.sup.1 to R.sup.3 are defined as in claims 1 to 16, the tautomers, the stereoisomers, the mixtures, the prodrugs thereof and the salts thereof which have valuable pharmacological properties, particularly an inhibiting effect on the activity of the enzyme dipeptidylpeptidase-IV (DPI-IV).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **668274-99-5P 668275-01-2P 668275-02-3P**
668275-03-4P 668275-04-5P 668275-05-6P
668275-06-7P 668275-07-8P 668275-08-9P
668275-10-3P 668275-11-4P 668275-12-5P
668275-13-6P 668275-14-7P 668275-15-8P
668275-16-9P 668275-17-0P 668275-18-1P
(intermediate; preparation and inhibiting activity of 8-[3-aminopiperidin-1-yl]xanthines against dipeptidylpeptidase IV)
RN 668274-99-5 USPATFULL
CN Carbamic acid, [1-[2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1-[2-oxo-2-[2-[(1-oxopropyl)amino]phenyl]ethyl]-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



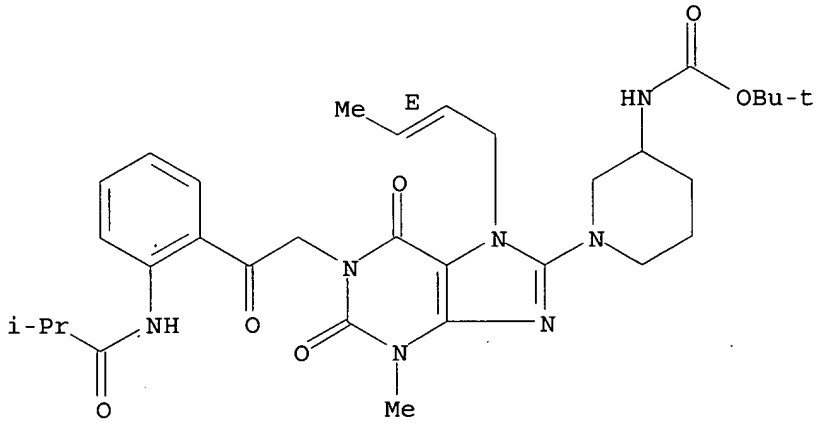
RN 668275-01-2 USPATFULL
CN Carbamic acid, [1-[1-[2-[2-(acetylamino)phenyl]-2-oxoethyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



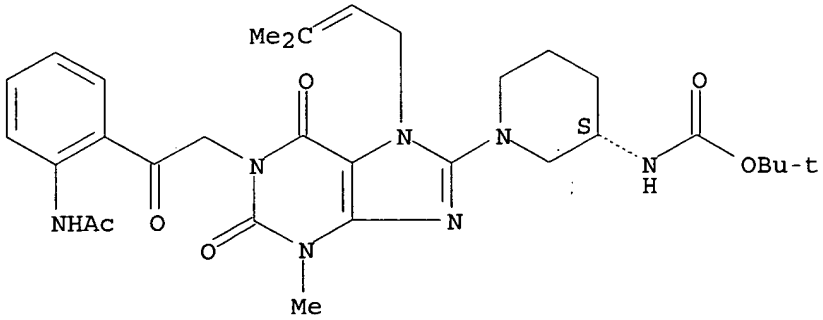
RN 668275-02-3 USPATFULL
CN Carbamic acid, [1-[7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-1-[2-[2-[(2-methyl-1-oxopropyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



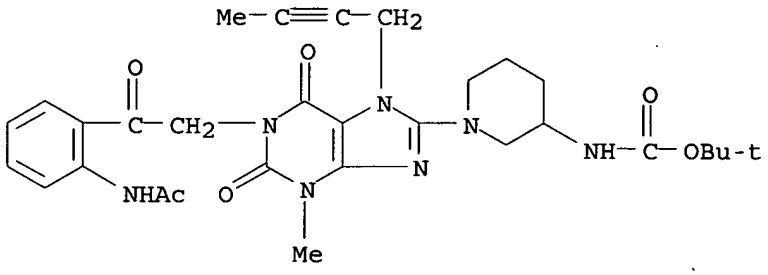
RN 668275-03-4 USPATFULL
CN Carbamic acid, [(3S)-1-[1-[2-[2-(acetylamino)phenyl]-2-oxoethyl]-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

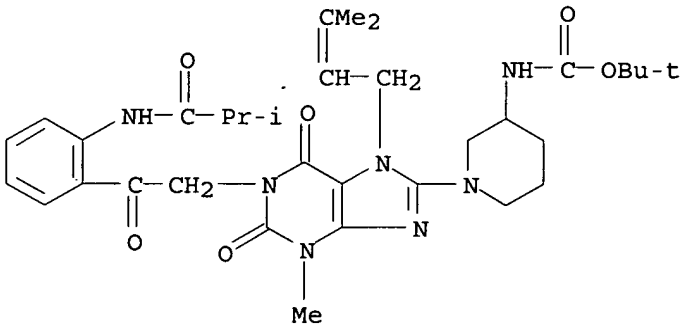


RN 668275-04-5 USPATFULL

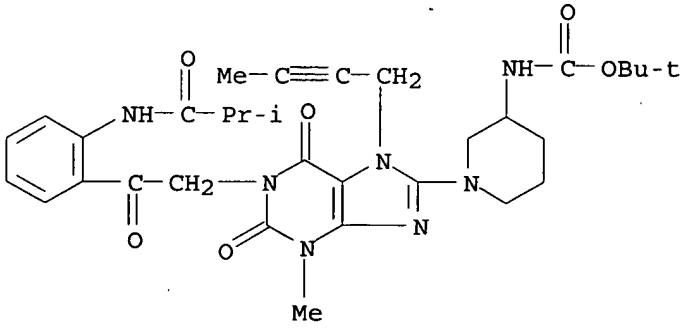
CN Carbamic acid, [1-[1-[2-[2-(acetylamino)phenyl]-2-oxoethyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 668275-05-6 USPATFULL
CN Carbamic acid, [1-[2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-1-[2-[2-[(2-methyl-1-oxopropyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

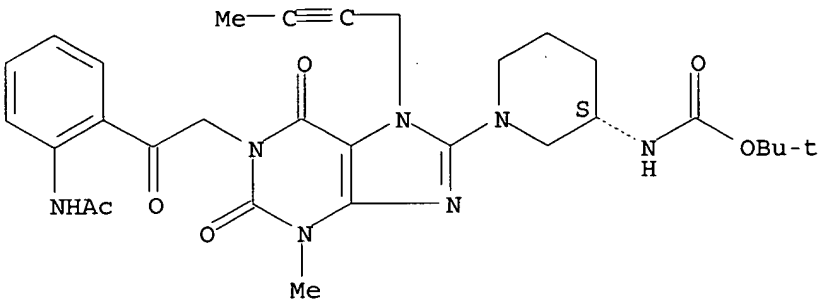


RN 668275-06-7 USPATFULL
CN Carbamic acid, [1-[7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-1-[2-[2-[(2-methyl-1-oxopropyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



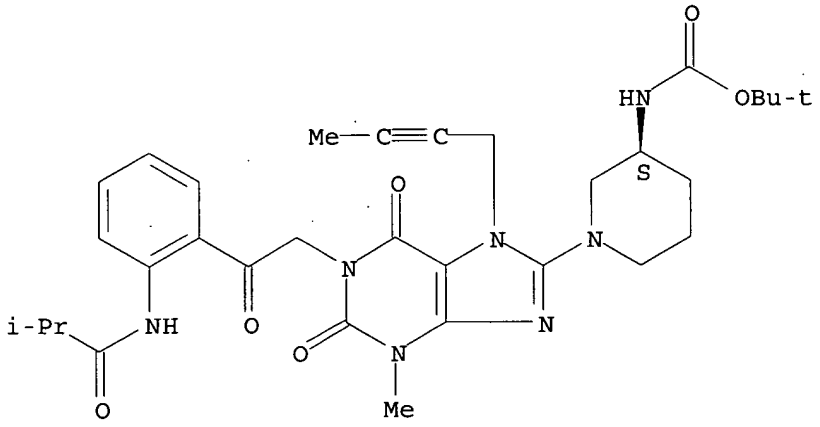
RN 668275-07-8 USPATFULL
CN Carbamic acid, [(3S)-1-[1-[2-[2-(acetylamino)phenyl]-2-oxoethyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



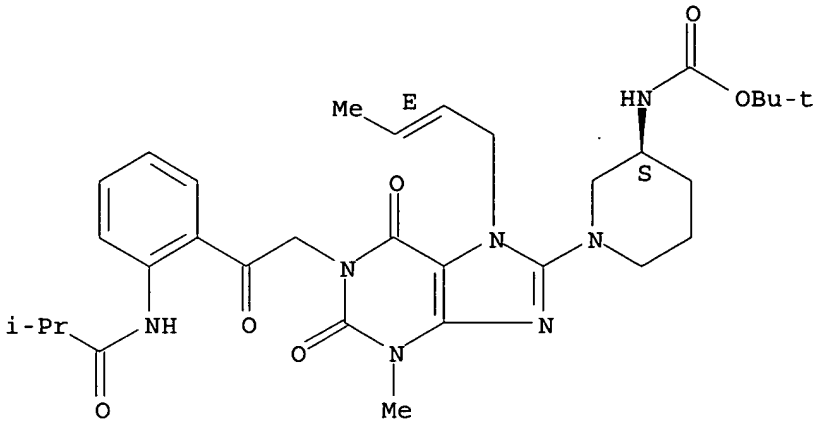
RN 668275-08-9 USPATFULL
CN Carbamic acid, [(3S)-1-[7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-1-[2-[2-[(2-methyl-1-oxopropyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



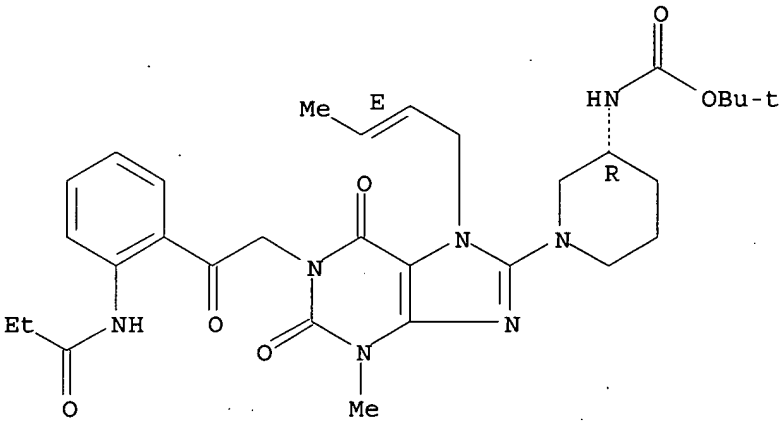
RN 668275-10-3 USPATFULL
CN Carbamic acid, [(3S)-1-[7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-1-[2-[2-[(2-methyl-1-oxopropyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



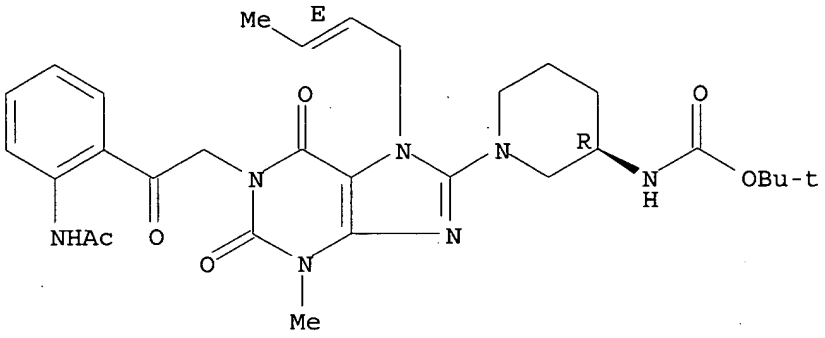
RN 668275-11-4 USPATFULL
CN Carbamic acid, [(3R)-1-[7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1-[2-oxo-2-[2-[(1-oxopropyl)amino]phenyl]ethyl]-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



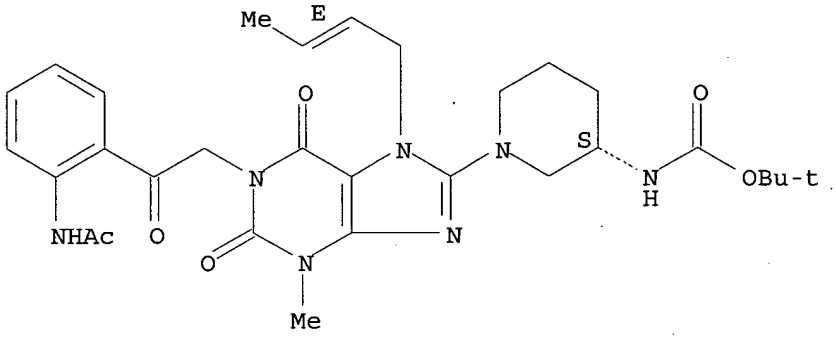
RN 668275-12-5 USPATFULL
CN Carbamic acid, [(3R)-1-[1-[2-[2-(acetylamino)phenyl]-2-oxoethyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



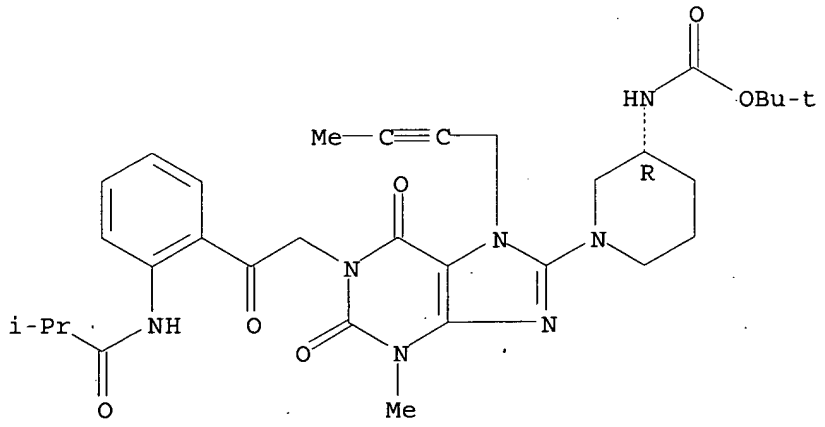
RN 668275-13-6 USPATFULL
CN Carbamic acid, [(3S)-1-[1-[2-[2-(acetylamino)phenyl]-2-oxoethyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



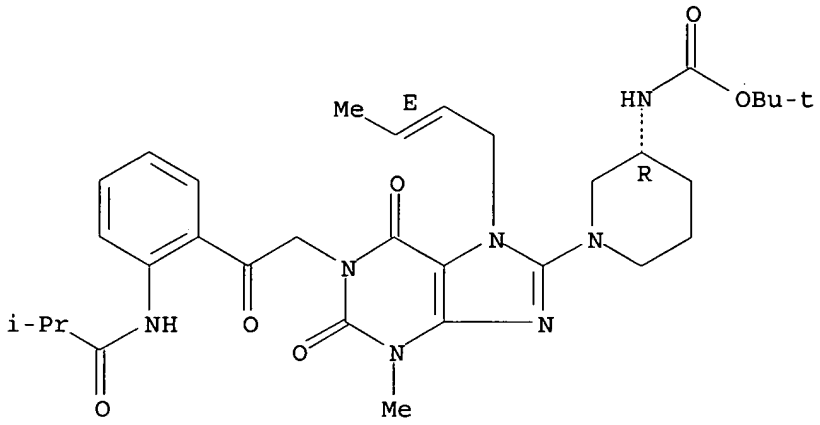
RN 668275-14-7 USPATFULL
CN Carbamic acid, [(3R)-1-[7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-1-[2-[2-[(2-methyl-1-oxopropyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



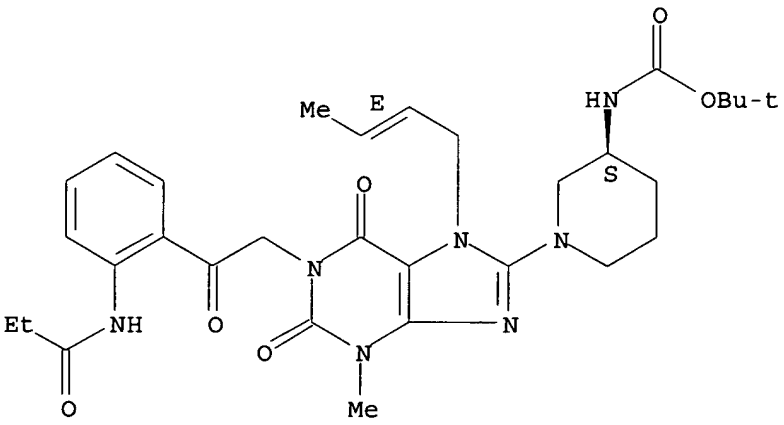
RN 668275-15-8 USPATFULL
CN Carbamic acid, [(3R)-1-[7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-1-[2-[2-[(2-methyl-1-oxopropyl)amino]phenyl]-2-oxoethyl]-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



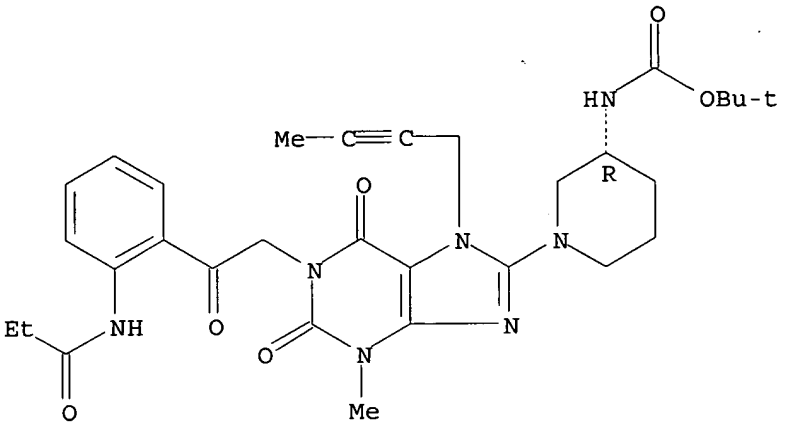
RN 668275-16-9 USPATFULL
CN Carbamic acid, [(3S)-1-[7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1-[2-oxo-2-[2-[(1-oxopropyl)amino]phenyl]ethyl]-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



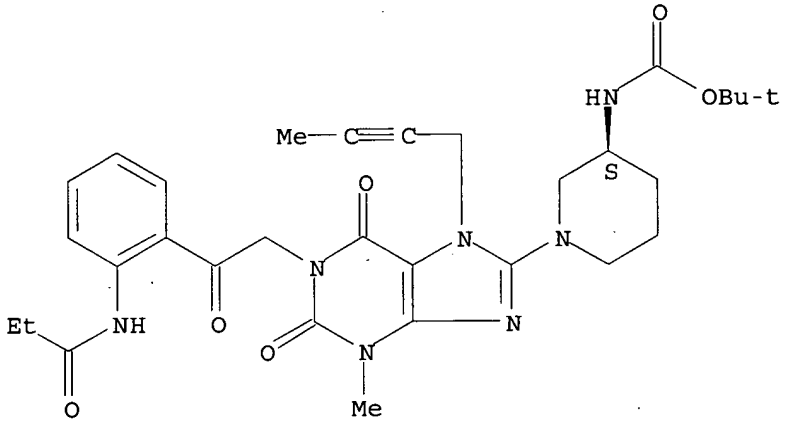
RN 668275-17-0 USPATFULL
CN Carbamic acid, [(3R)-1-[7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1-[2-oxo-2-[2-[(1-oxopropyl)amino]phenyl]ethyl]-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

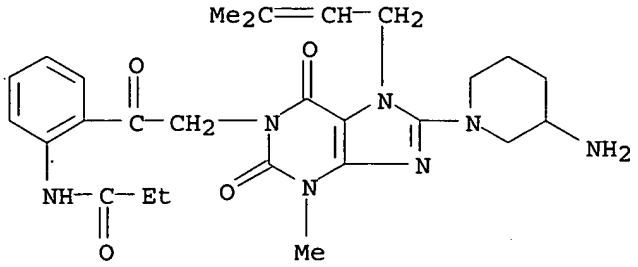


RN 668275-18-1 USPATFULL
CN Carbamic acid, [(3S)-1-[7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1-[2-oxo-2-[2-[(1-oxopropyl)amino]phenyl]ethyl]-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

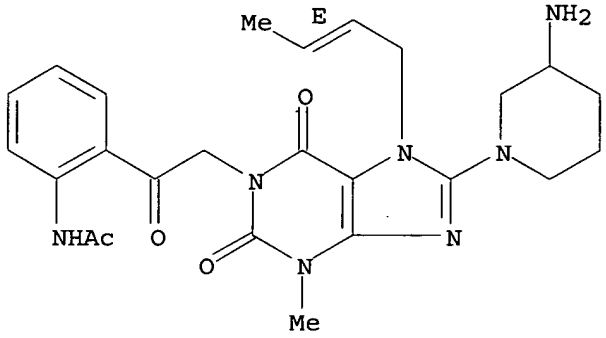


IT **668268-86-8P 668269-66-7P 668269-70-3P**
668269-75-8P 668269-80-5P 668269-86-1P
668269-88-3P 668269-89-4P 668269-90-7P
668270-15-3P 668270-16-4P 668270-17-5P
668270-19-7P 668270-21-1P 668270-22-2P
668270-24-4P 668270-25-5P 668270-26-6P
668270-27-7P
(preparation and inhibiting activity of 8-[3-aminopiperidin-1-yl]xanthines against dipeptidylpeptidase IV)
RN 668268-86-8 USPATFULL
CN Propanamide, N-[2-[[8-(3-amino-1-piperidinyl)-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)



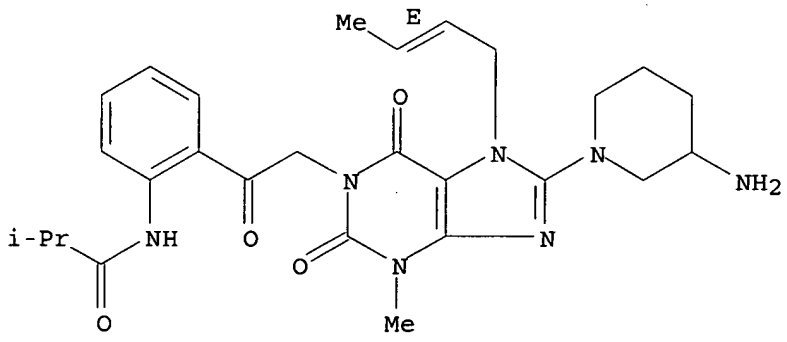
RN 668269-66-7 USPATFULL
CN Acetamide, N-[2-[[8-(3-amino-1-piperidinyl)-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 668269-70-3 USPATFULL
CN Propanamide, N-[2-[[8-(3-amino-1-piperidinyl)-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

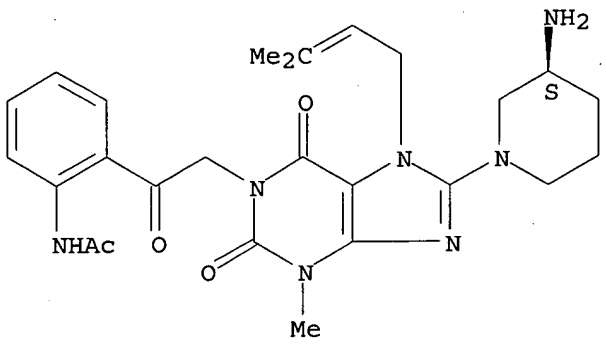
Double bond geometry as shown.



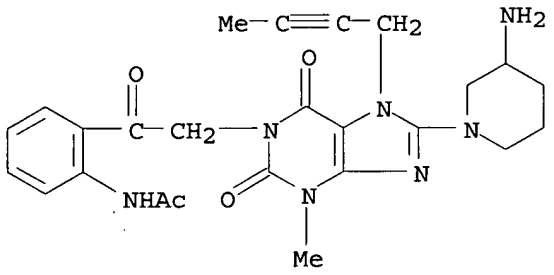
RN 668269-75-8 USPATFULL
CN Acetamide, N-[2-[[8-[(3S)-3-amino-1-piperidinyl]-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

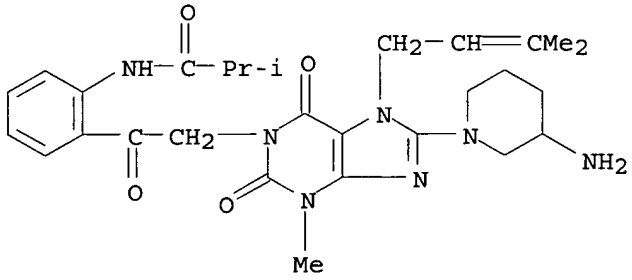
Searched by Barb O'Bryen, STIC 2-2518



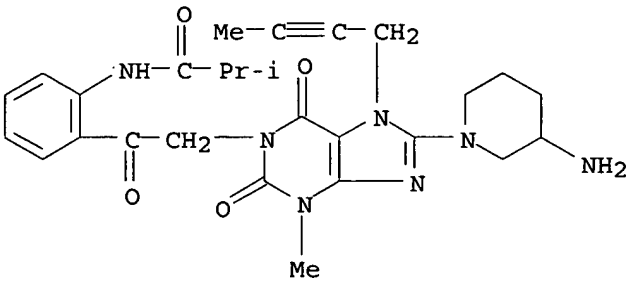
RN 668269-80-5 USPATFULL
CN Acetamide, N-[2-[[8-(3-amino-1-piperidinyl)-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)



RN 668269-86-1 USPATFULL
CN Propanamide, N-[2-[[8-(3-amino-1-piperidinyl)-2,3,6,7-tetrahydro-3-methyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

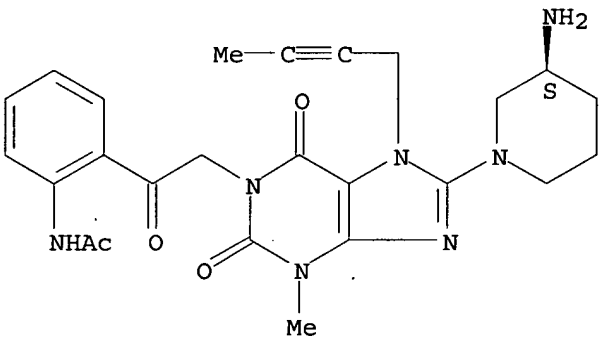


RN 668269-88-3 USPATFULL
CN Propanamide, N-[2-[[8-(3-amino-1-piperidinyl)-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)



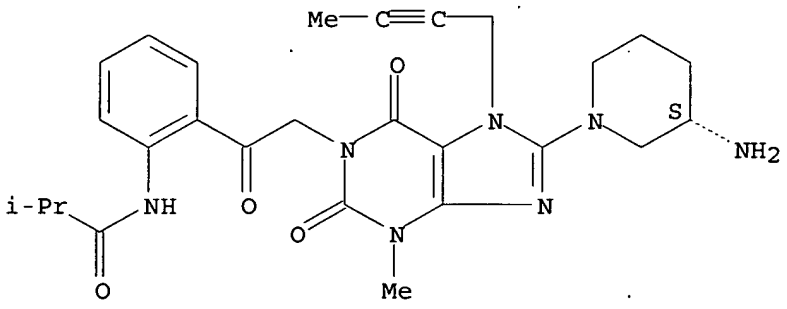
RN 668269-89-4 USPATFULL
CN Acetamide, N-[2-[[8-[(3S)-3-amino-1-piperidinyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 668269-90-7 USPATFULL
CN Propanamide, N-[2-[[8-[(3S)-3-amino-1-piperidinyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

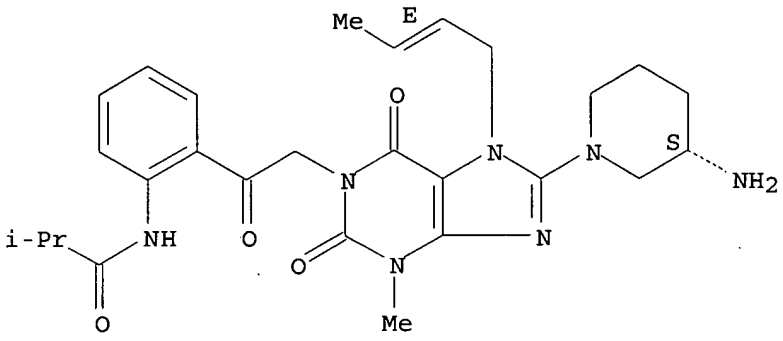


RN 668270-15-3 USPATFULL
CN Propanamide, N-[2-[[8-[(3S)-3-amino-1-piperidinyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

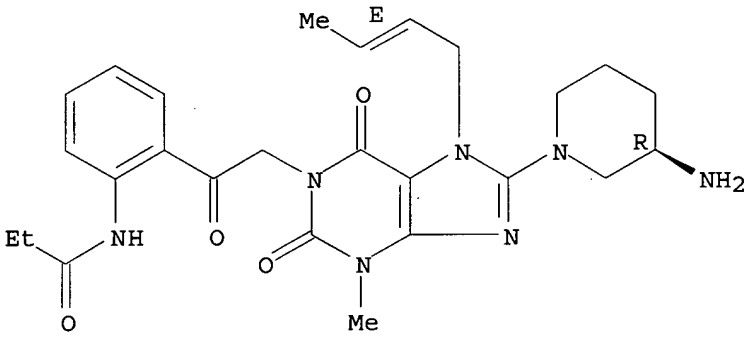
Searched by Barb O'Bryen, STIC 2-2518

Double bond geometry as shown.



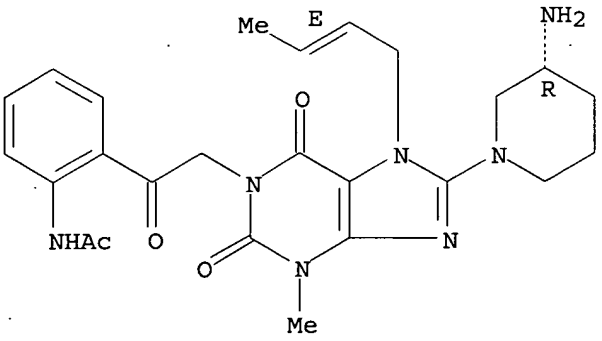
RN 668270-16-4 USPATFULL
CN Propanamide, N-[2-[[8-[(3R)-3-amino-1-piperidinyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



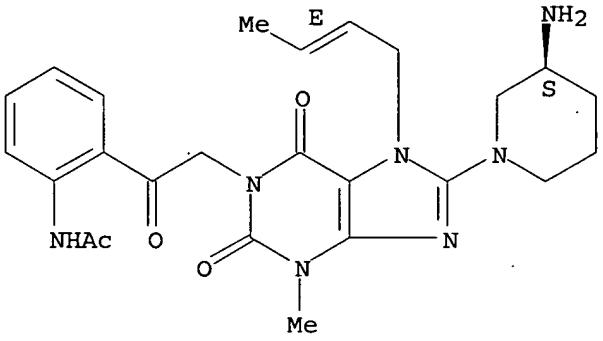
RN 668270-17-5 USPATFULL
CN Acetamide, N-[2-[[8-[(3R)-3-amino-1-piperidinyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



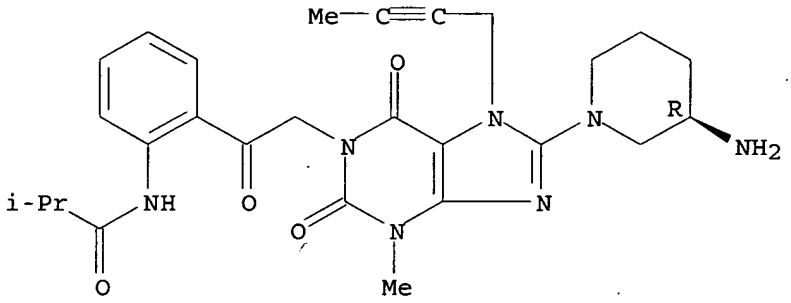
RN 668270-19-7 USPATFULL
CN Acetamide, N-[2-[[8-[(3S)-3-amino-1-piperidinyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



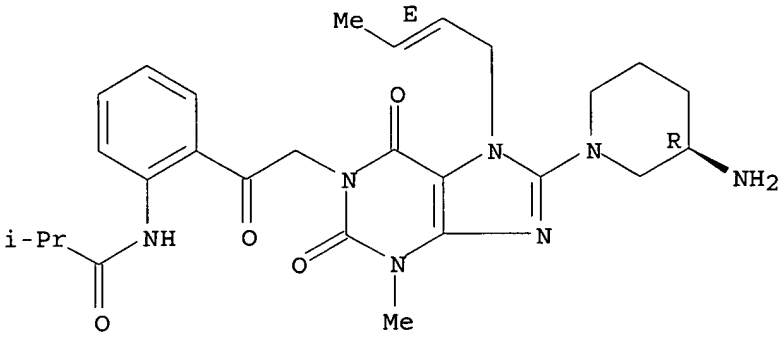
RN 668270-21-1 USPATFULL
CN Propanamide, N-[2-[[8-[(3R)-3-amino-1-piperidinyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



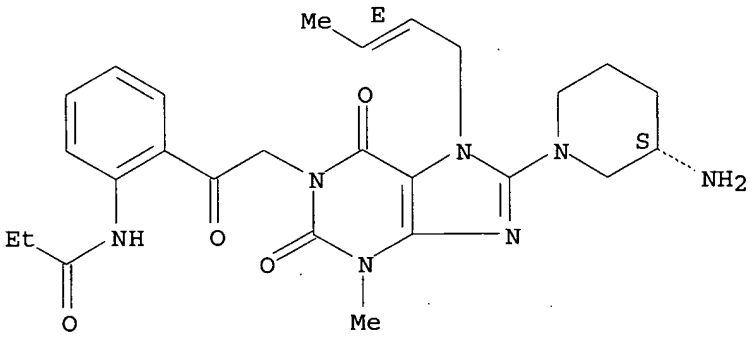
RN 668270-22-2 USPATFULL
CN Propanamide, N-[2-[[8-[(3R)-3-amino-1-piperidinyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



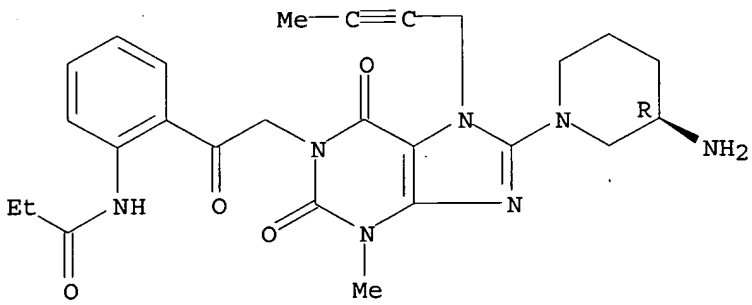
RN 668270-24-4 USPATFULL
CN Propanamide, N-[2-[[8-[(3S)-3-amino-1-piperidinyl]-7-(2E)-2-butenyl-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 668270-25-5 USPATFULL
CN Propanamide, N-[2-[[8-[(3R)-3-amino-1-piperidinyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

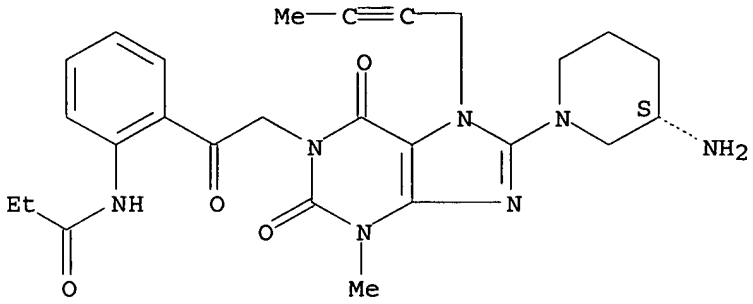
Absolute stereochemistry.



RN 668270-26-6 USPATFULL
CN Propanamide, N-[2-[[8-[(3S)-3-amino-1-piperidinyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA

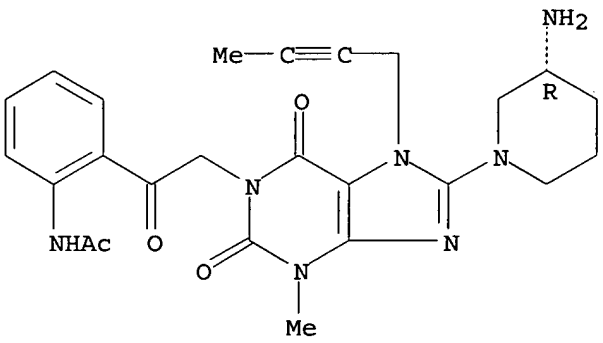
INDEX NAME)

Absolute stereochemistry.



RN 668270-27-7 USPATFULL
CN Acetamide, N-[2-[[8-[(3R)-3-amino-1-piperidinyl]-7-(2-butynyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-1-yl]acetyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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